

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/CAPplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/CAPplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/CAPplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	Caplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS EXPRESS	19	SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.	
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		

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NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:05:03 ON 28 DEC 2007

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:05:14 ON 28 DEC 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 DEC 2007 HIGHEST RN 959655-61-9

DICTIONARY FILE UPDATES: 27 DEC 2007 HIGHEST RN 959655-61-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

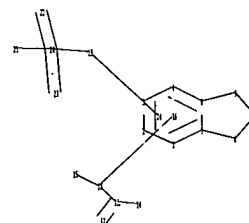
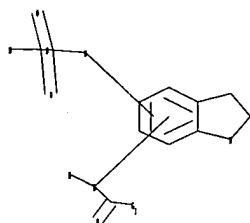
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10521175x.str



```

chain nodes :
10 11 12 14 15 19 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
10-11 10-15 11-12 11-14 19-20 20-21 20-22 20-23
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 8-9 10-11 11-12 11-14 19-20 20-21 20-22 20-23
exact bonds :
10-15
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

```

G1:Cb,Cy,Ak,Ph

G2:MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:Atom

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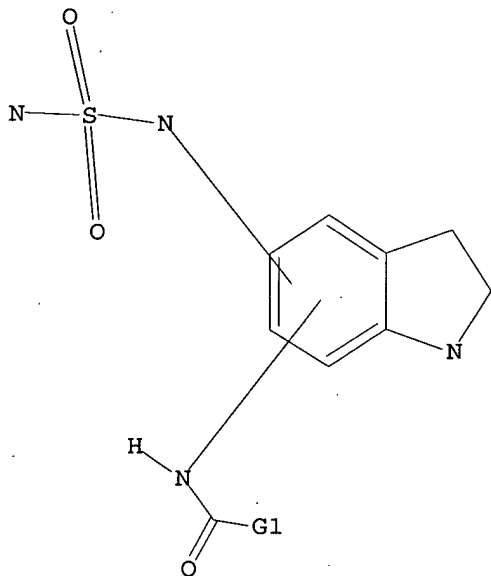
10521175x.trn

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Ak,Ph

G2 MeO, EtO, n-PrO, n-BuO, NH, NH₂, NO₂

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:05:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 414 TO ITERATE

100.0% PROCESSED 414 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 7060 TO 9500

PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:05:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8089 TO ITERATE

100.0% PROCESSED 8089 ITERATIONS

59 ANSWERS

SEARCH TIME: 00.00.01

L3 59 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'HCAPLUS' ENTERED AT 10:06:01 ON 28 DEC 2007
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FILE COVERS 1907 - 28 Dec 2007 VOL 148 ISS 1
FILE LAST UPDATED: 27 Dec 2007 (20071227/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 1 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:60468 HCAPLUS

DOCUMENT NUMBER: 140:111275

TITLE: Preparation of indoline derivatives as ACAT or lipid peroxidation inhibitors

INVENTOR(S): Kamiya, Shouji; Ika, Miho; Takahashi, Kenji; Tarumi, Tadatsugu; Kasai, Masayasu; Yoshimi, Akihisa; Shirahase, Hiroaki

PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

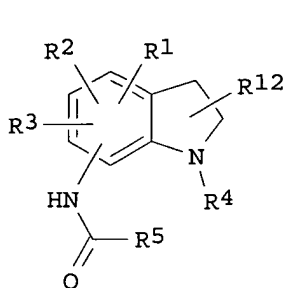
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

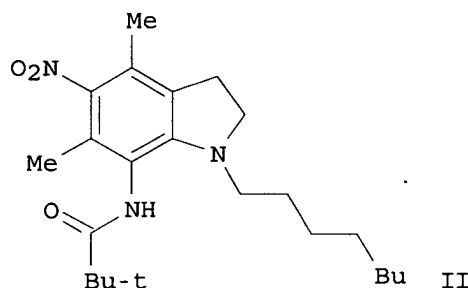
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

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AU 2003252638	A1	20040202	AU 2003-252638	20030716
BR 2003012734	A	20050426	BR 2003-12734	20030716
EP 1541553	A1	20050615	EP 2003-764206	20030716
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CN 1681781	A	20051012	CN 2003-822088	20030716
NZ 538226	A	20060831	NZ 2003-538226	20030716
MX 2005PA00749	A	20050428	MX 2005-PA749	20050117
NO 2005000834	A	20050415	NO 2005-834	20050216
IN 2005CN00201	A	20070330	IN 2005-CN201	20050216
US 2006128787	A1	20060615	US 2005-521175	20050314
PRIORITY APPLN. INFO.:			JP 2002-208878	A 20020717
			WO 2003-JP9012	W 20030716
OTHER SOURCE(S):		MARPAT 140:111275		
GI				

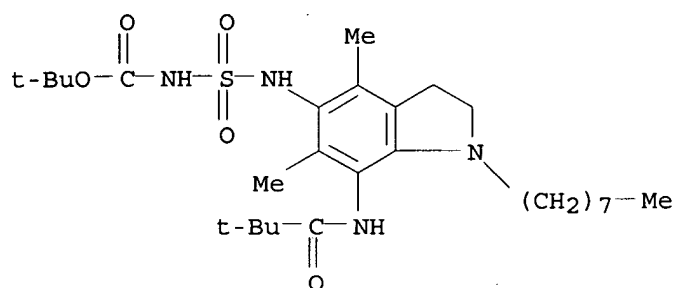


I



II

- AB The title indoline compds. with general formula of I [wherein R1 and R3 = independently H, alkyl, or alkoxy; R2 = NO₂, NHCONH₂, (un)substituted NHSO₂H, or alkyl; R4 = H, alkenyl, alkoxyalkoxy, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, (un)substituted alkyl, or COH; R5 = alkyl, cycloalkyl, or aryl; R12 = H, alkyl, alkoxyalkoxy, or alkylthioalkyl] or pharmaceutically acceptable salts thereof are prepared as acyl coA cholesterol acyltransferase (ACAT) or lipid peroxidn. inhibitors. For example, the compound II was prepared in a multi-step synthesis. I showed 71.9 to 98.1% inhibitory activity at the concentration of 1.0 μM against liver ACAT in rabbit.
- IT 647008-50-2P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)
- RN 647008-50-2 HCAPLUS
- CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



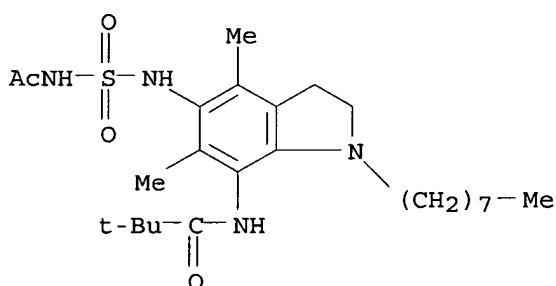
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 647010-19-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn.
 inhibitors)

RN 647008-48-8 HCAPLUS

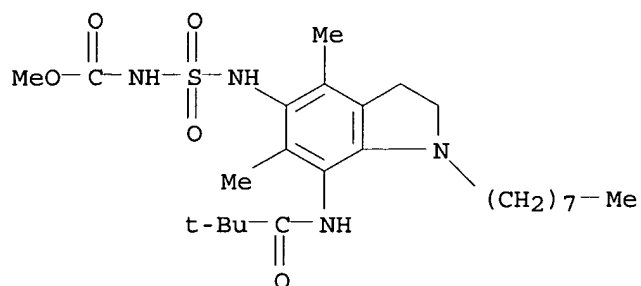
CN Propanamide, N-[[5-[[[(acetylamino)sulfonyl]amino]-2,3-dihydro-4,6-dimethyl-
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RN 647008-49-9 HCAPLUS

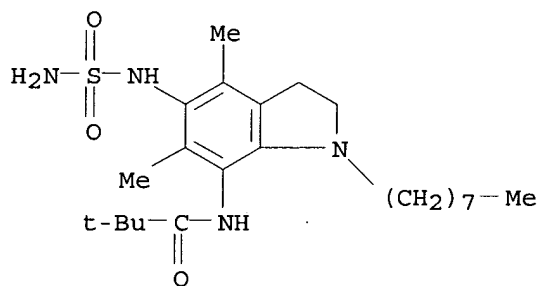
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-
 dimethyl-1-octyl-1H-indol-5-yl]amino]sulfonyl]-, methyl ester (9CI) (CA
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RN 647008-51-3 HCAPLUS

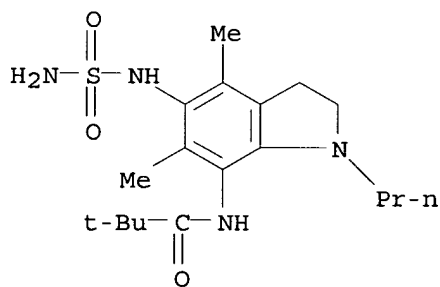
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 647008-64-8 HCAPLUS

CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

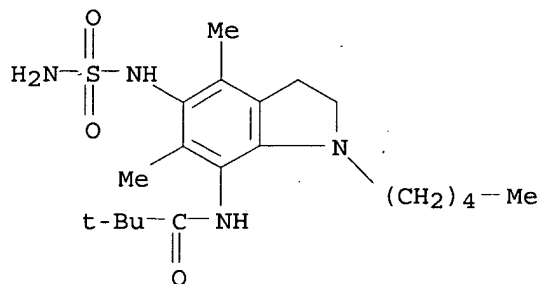


● HCl

RN 647008-65-9 HCAPLUS

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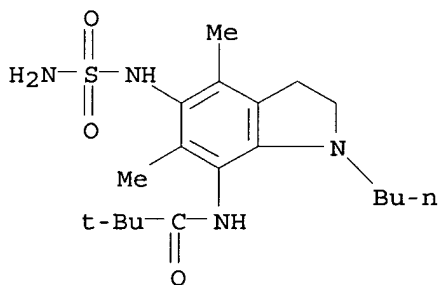
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● HCl

RN 647008-66-0 HCAPLUS

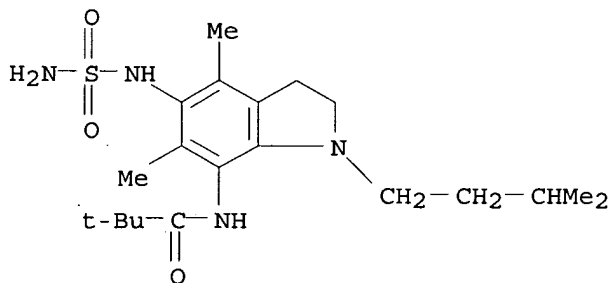
CN Propanamide, N- [5- [(aminosulfonyl)amino]-1-butyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 647008-67-1 HCAPLUS

CN Propanamide, N- [5- [(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methylbutyl)-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

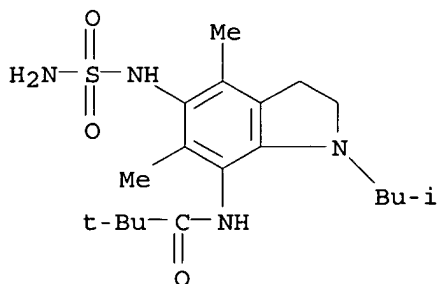


● HCl

RN 647008-68-2 HCAPLUS

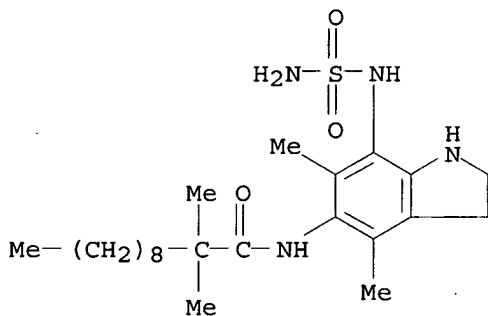
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CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(2-methylpropyl)-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



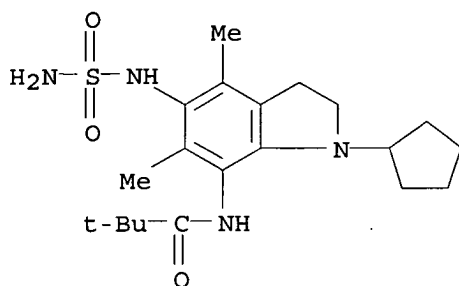
● HCl

RN 647008-73-9 HCAPLUS
CN Undecanamide, N-[7-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



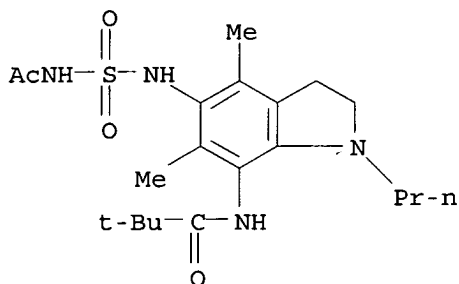
● HCl

RN 647008-90-0 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-cyclopentyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

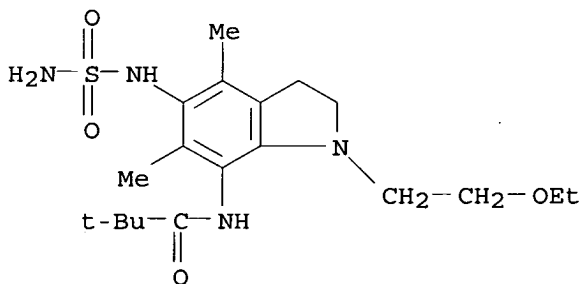


● HCl

RN 647008-91-1 HCAPLUS
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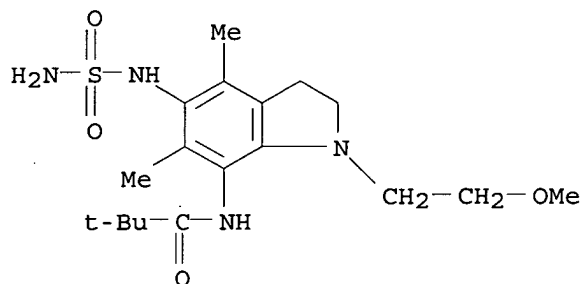
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● HCl

RN 647008-94-4 HCAPLUS
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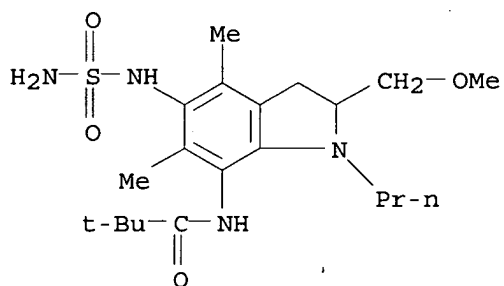
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● HCl

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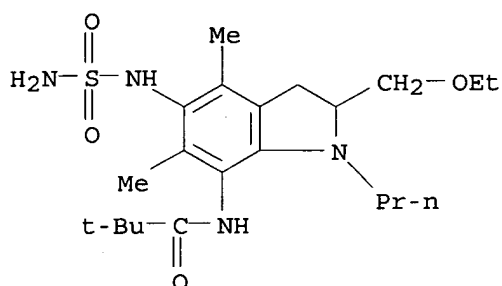
CN Propanamide, N- [5- [(aminosulfonyl)amino]-2,3-dihydro-2- (methoxymethyl)-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

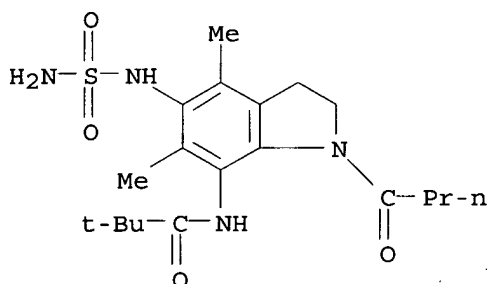
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(CA INDEX NAME)

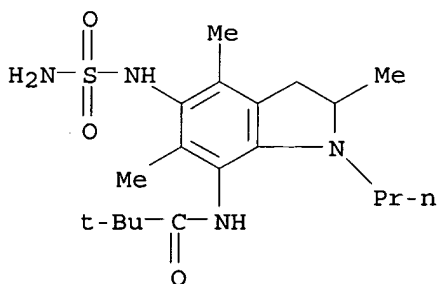


● HCl

RN 647008-99-9 HCAPLUS
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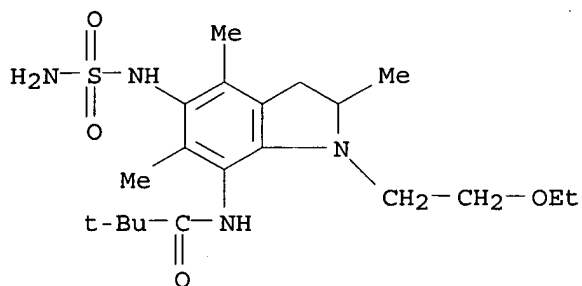
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CN Propanamide, N- [5- [(aminosulfonyl)amino]-2,3-dihydro-2,4,6-trimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

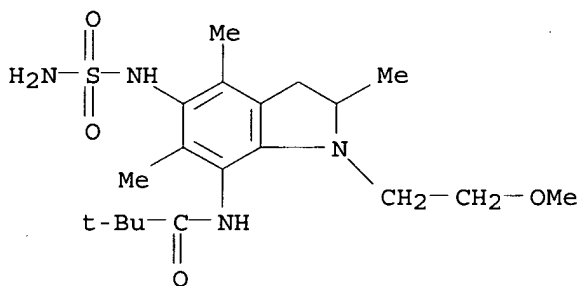
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CN Propanamide, N- [5- [(aminosulfonyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-2,4,6-trimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

10521175x.trn



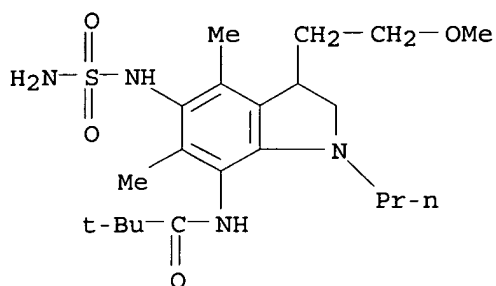
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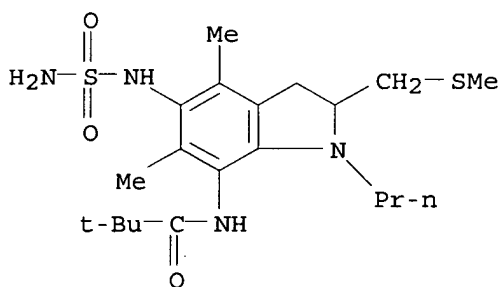
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RN 647009-03-8 HCAPLUS
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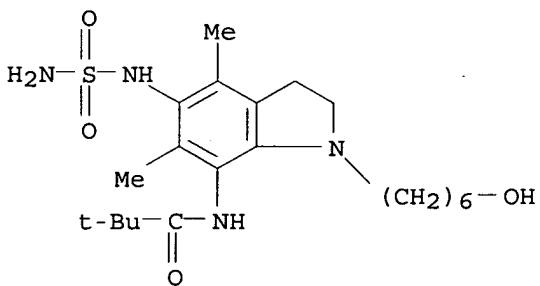
● HCl

RN 647009-04-9 HCAPLUS
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● HCl

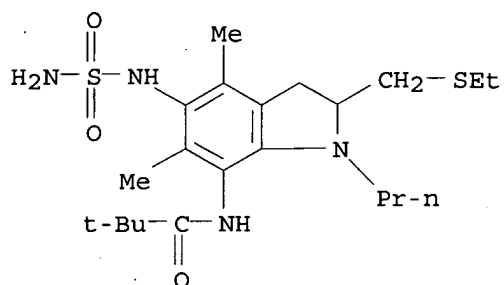
RN 647009-05-0 HCAPLUS
 CN Propanamide, N- [5- [(aminosulfonyl)amino]-2,3-dihydro-1-(6-hydroxyhexyl)-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 647009-06-1 HCAPLUS

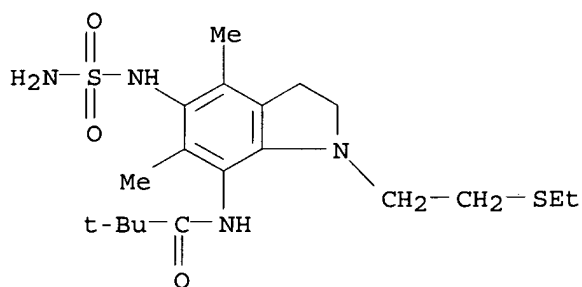
Propanamide, N-[5-[(aminosulfonyl)amino]-2-[(ethylthio)methyl]-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride
(9CI) (CA INDEX NAME)



● HCl

RN 647009-07-2 HCAPLUS

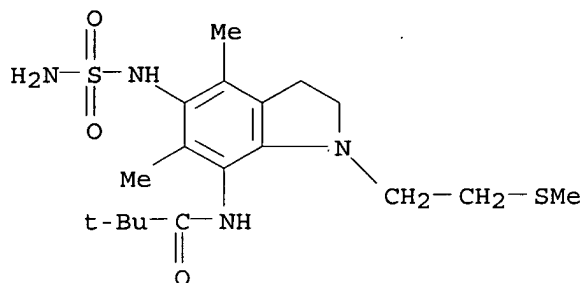
Propanamide, N-[5-[(aminosulfonyl)amino]-1-[2-(ethylthio)ethyl]-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

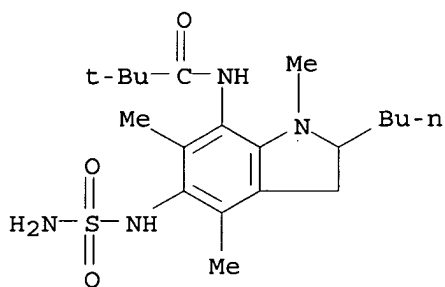
RN 647009-08-3 HCAPLUS

CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(methylthio)ethyl]-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI)
(CA INDEX NAME)



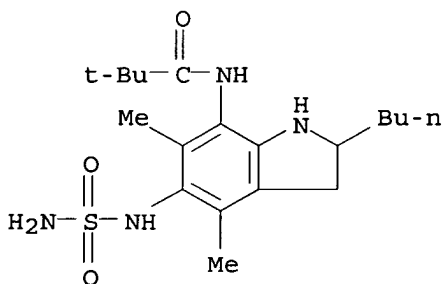
● HCl

RN 647009-09-4 HCAPLUS
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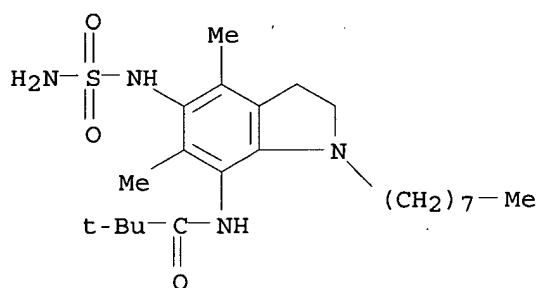


● HCl

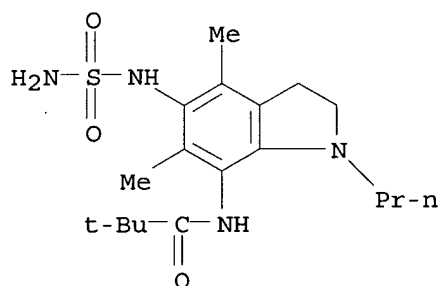
RN 647009-10-7 HCAPLUS
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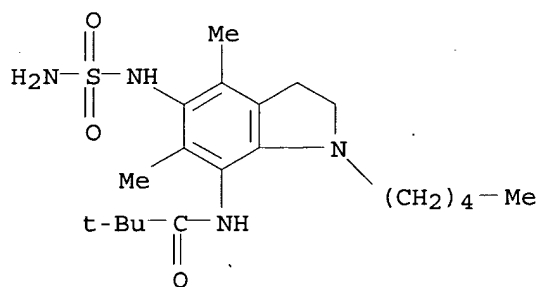
RN 647009-89-0 HCAPLUS
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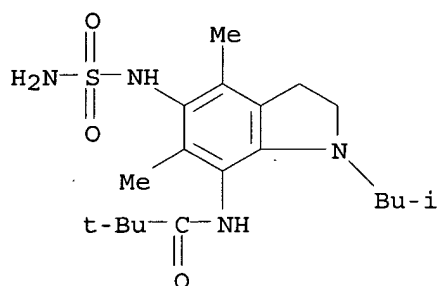
RN 647009-90-3 HCAPLUS
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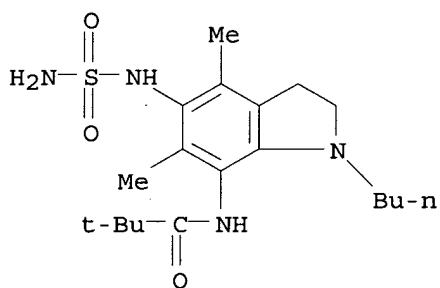
RN 647009-91-4 HCAPLUS
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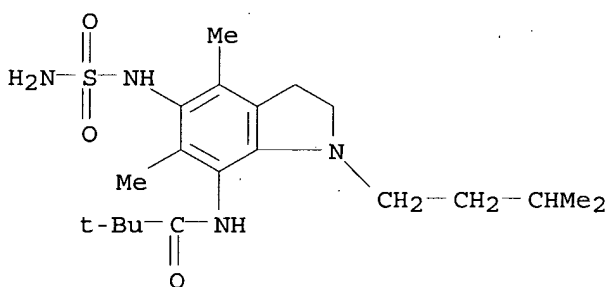
RN 647009-92-5 HCAPLUS
 CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(2-methylpropyl)-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



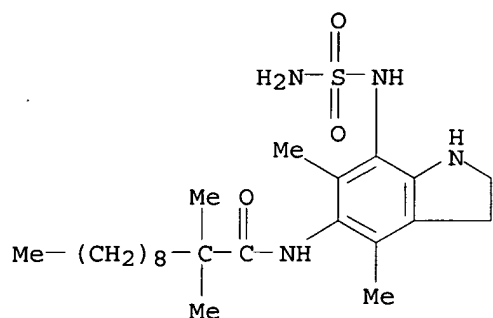
RN 647009-93-6 HCAPLUS
 CN Propanamide, N- [5- [(aminosulfonyl) amino] -1-butyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



RN 647009-94-7 HCAPLUS
 CN Propanamide, N- [5- [(aminosulfonyl) amino] -2,3-dihydro-4,6-dimethyl-1-(3-methylbutyl)-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

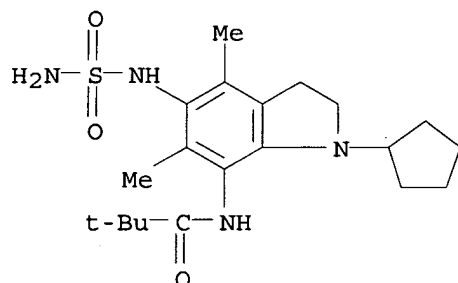


RN 647009-96-9 HCAPLUS
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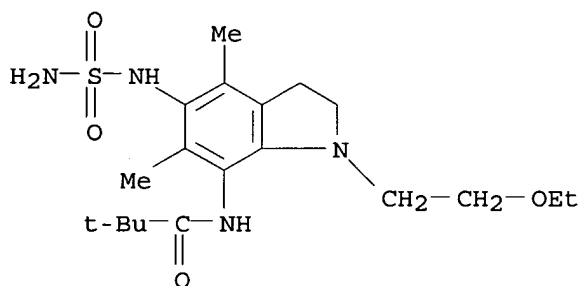
RN 647010-03-5 HCAPLUS

CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-cyclopentyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



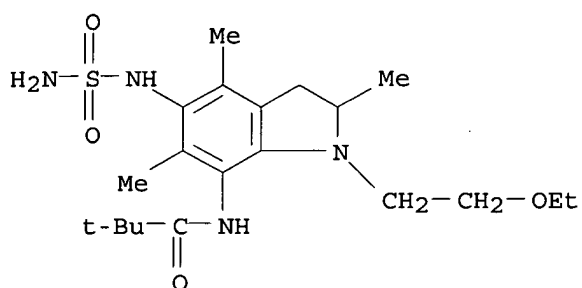
RN 647010-05-7 HCAPLUS

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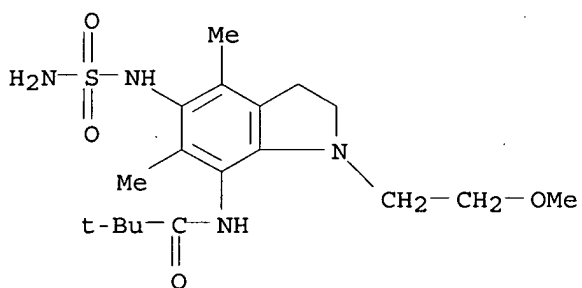


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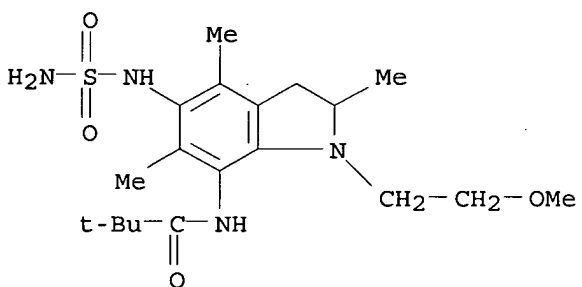
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RN 647010-09-1 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-1-(2-methoxyethyl)-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

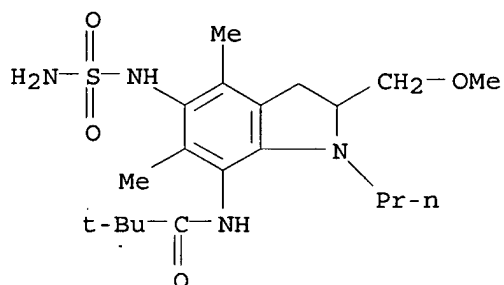


RN 647010-11-5 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-1-(2-methoxyethyl)-2,4,6-trimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

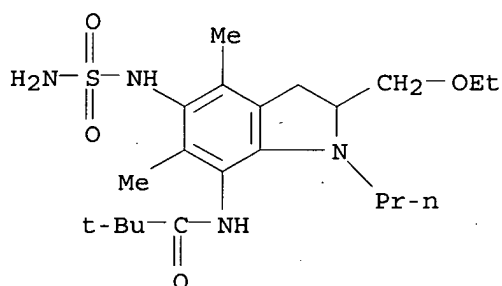


RN 647010-13-7 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-2-(methoxymethyl)-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

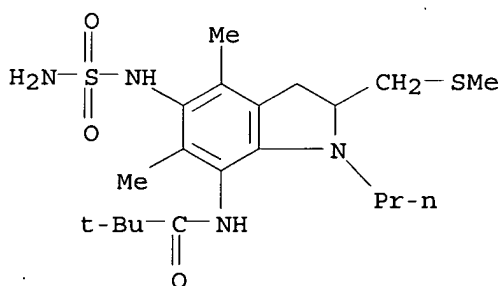
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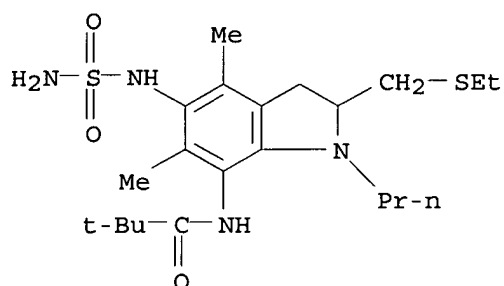
RN 647010-15-9 HCAPLUS
CN Propanamide, N- [5- [(aminosulfonyl)amino]-2-(ethoxymethyl)-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



RN 647010-17-1 HCAPLUS
CN Propanamide, N- [5- [(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-2-[(methylthio)methyl]-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



RN 647010-19-3 HCAPLUS
CN Propanamide, N- [5- [(aminosulfonyl)amino]-2-[(ethylthio)methyl]-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

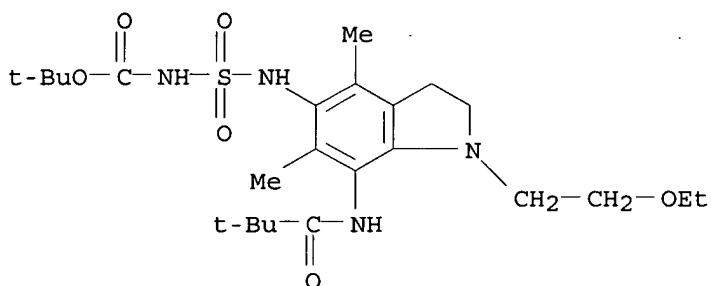


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 647009-53-8P 647009-65-2P 647009-76-5P
 647009-80-1P 647009-85-6P 647009-87-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of indoline derivs. as ACAT or lipid peroxidn.
 inhibitors)

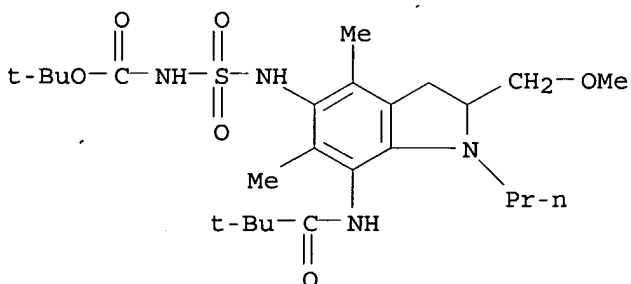
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CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethoxyethyl)-
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 ester (9CI) (CA INDEX NAME)



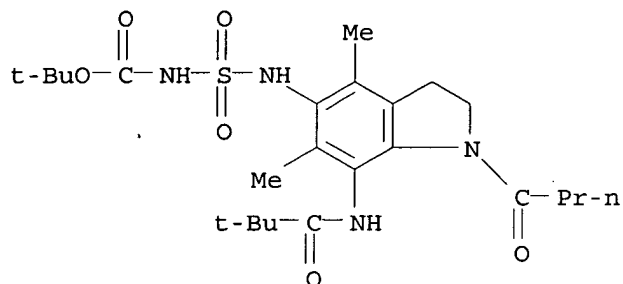
RN 647009-41-4 HCAPLUS

CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-2-
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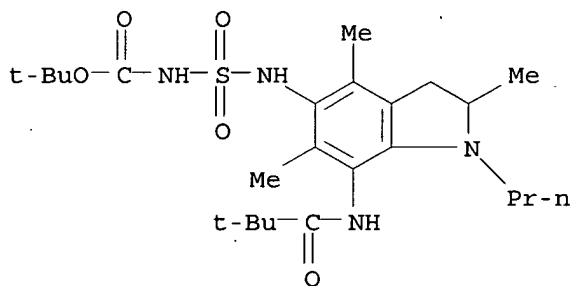
RN 647009-44-7 HCAPLUS

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 ester (9CI) (CA INDEX NAME)



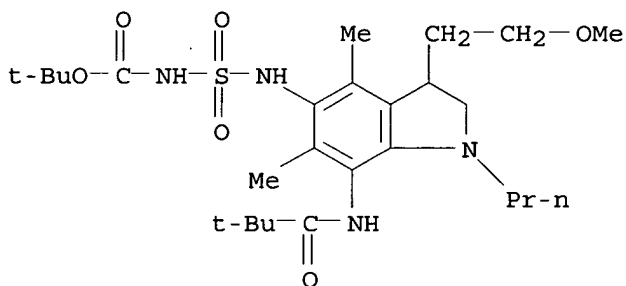
RN 647009-53-8 HCAPLUS

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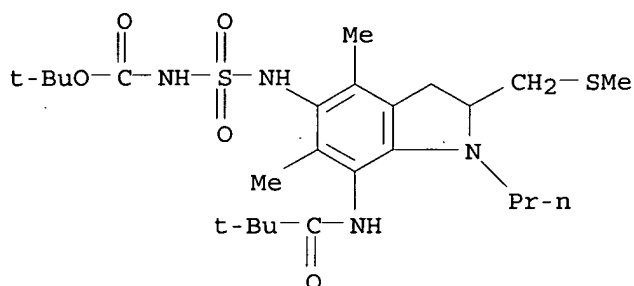
RN 647009-65-2 HCAPLUS

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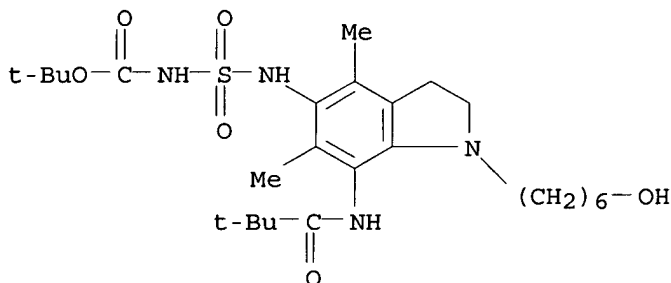
RN 647009-76-5 HCAPLUS

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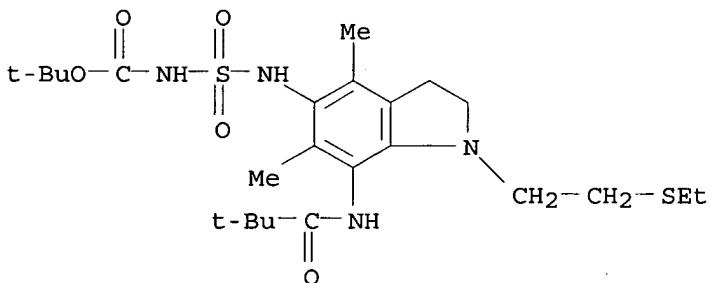
RN 647009-80-1 HCAPLUS

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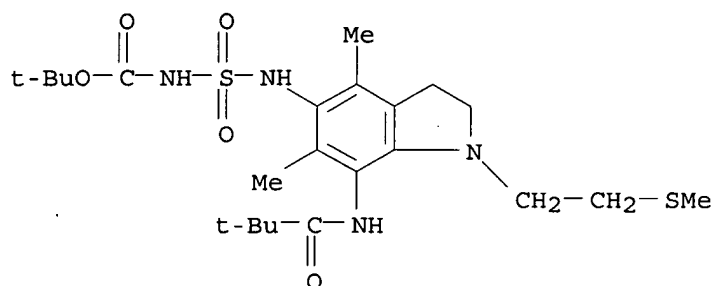
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RN 647009-87-8 HCAPLUS

CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(methylthio)ethyl]-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
18.27	190.58

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.78	-0.78

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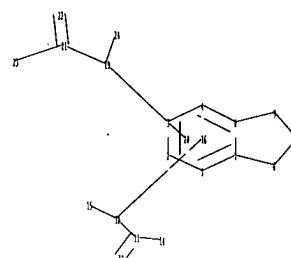
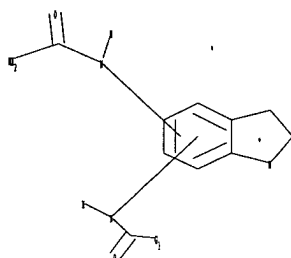
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10521175y.str



chain nodes :
 10 11 12 14 15 19 21 22 23 24
 ring nodes :
 1 2 3 4 5 6 7 8 9
 chain bonds :
 10-11 10-15 11-12 11-14 19-21 19-24 21-22 21-23
 ring bonds :
 1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
 exact/norm bonds :
 5-6 5-9 6-7 8-9 10-11 11-12 11-14 19-21 21-22 21-23
 exact bonds :
 10-15 19-24
 normalized bonds :
 1-2 1-7 2-3 3-4 4-8 7-8
 isolated ring systems :
 containing 1 :

G1:Cb,Cy,Ak,Ph

G2:MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
 11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom 19:CLASS 20:Atom 21:CLASS
 22:CLASS 23:CLASS 24:CLASS

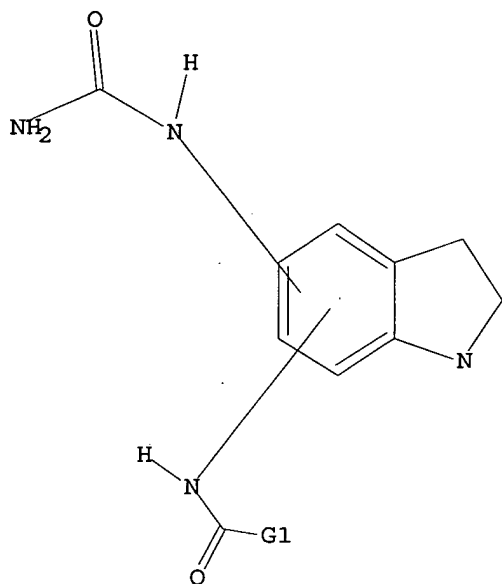
L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR

10521175x.trn



G1 Cb,Cy,Ak,Ph

G2 MeO, EtO, n-PrO, n-BuO, NH, NH₂, NO₂

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 10:09:28 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1302 TO ITERATE

100.0% PROCESSED 1302 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 23876 TO 28204

PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 10:09:34 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 27077 TO ITERATE

100.0% PROCESSED 27077 ITERATIONS

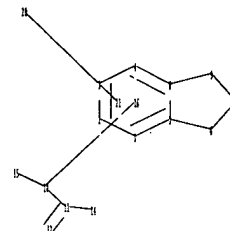
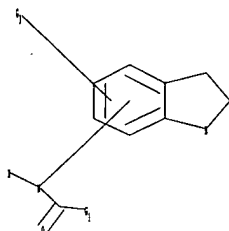
3 ANSWERS

SEARCH TIME: 00.00.02

L7 3 SEA SSS FUL L5

=>

Uploading C:\Program Files\Stnexp\Queries\10521175z.str



chain nodes :
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 ring nodes :
 1 2 3 4 5 6 7 8 9
 chain bonds :
 10-11 10-15 11-12 11-14
 ring bonds :
 1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
 exact/norm bonds :
 5-6 5-9 6-7 8-9 10-11 11-12 11-14
 exact bonds :
 10-15
 normalized bonds :
 1-2 1-7 2-3 3-4 4-8 7-8
 isolated ring systems :
 containing 1 :

G1:Cb,Cy,Ak,Ph

G2:MeO,EtO,n-PrO,n-BuO,NH,NH₂,NO₂

G3:NH₂,NO₂

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
 11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom 20:CLASS 21:Atom

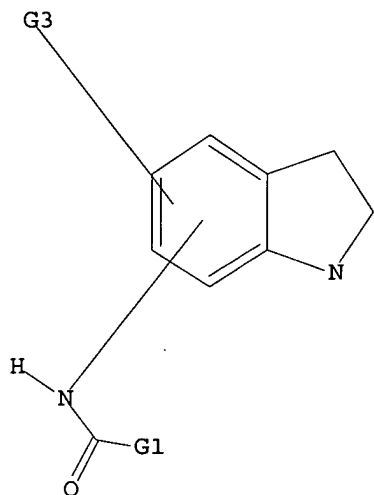
L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8 STR

10521175x.trn



G1 Cb,Cy,Ak,Ph

G2 MeO, EtO, n-PrO, n-BuO, NH, NH2, NO2

G3 NH2, NO2

Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 10:13:27 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 40794 TO ITERATE

4.9% PROCESSED 2000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 803815 TO 827945

PROJECTED ANSWERS: 137 TO 677

L9 1 SEA SSS SAM L8

=> s l8 sss full

FULL SEARCH INITIATED 10:13:34 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 810722 TO ITERATE

100.0% PROCESSED 810722 ITERATIONS

153 ANSWERS

SEARCH TIME: 00.00.16

L10 153 SEA SSS FUL L8

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

346.90

537.48

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

10521175x.trn

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-0.78

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FILE LAST UPDATED: 27 Dec 2007 (20071227/ED)

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FILE 'REGISTRY' ENTERED AT 10:05:14 ON 28 DEC 2007

L1 STRUCTURE UPLOADED
L2 4 S L1
L3 59 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:06:01 ON 28 DEC 2007

L4 1 S L3

FILE 'REGISTRY' ENTERED AT 10:09:07 ON 28 DEC 2007

L5 STRUCTURE UPLOADED
L6 0 S L5
L7 3 S L5 SSS FULL
L8 STRUCTURE UPLOADED
L9 1 S L8
L10 153 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:13:54 ON 28 DEC 2007

=> s 17

L11 1 L7

=> s 110

L12 28 L10

=> s 112 and py<=2002

22927458 PY<=2002

L13 19 L12 AND PY<=2002

=> s 113 and transferase inhibitor
57922 TRANSFERASE

6974 TRANSFERASES
 60102 TRANSFERASE
 (TRANSFERASE OR TRANSFERASES)
 560557 INHIBITOR
 563027 INHIBITORS
 878998 INHIBITOR
 (INHIBITOR OR INHIBITORS)
 1939 TRANSFERASE INHIBITOR
 (TRANSFERASE(W) INHIBITOR)
 L14 0 L13 AND TRANSFERASE INHIBITOR

=> s l13 and thu
 158 THU
 2467772 THUS
 2467912 THU
 (THU OR THUS)
 L15 6 L13 AND THU

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:60468 HCAPLUS
 DOCUMENT NUMBER: 140:111275
 TITLE: Preparation of indoline derivatives as ACAT or lipid
 peroxidation inhibitors
 INVENTOR(S): Kamiya, Shouji; Ikai, Miho; Takahashi, Kenji; Tarumi,
 Tadatsugu; Kasai, Masayasu; Yoshimi, Akihisa;
 Shirahase, Hiroaki
 PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007450	A1	20040122	WO 2003-JP9012	20030716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492669	A1	20040122	CA 2003-2492669	20030716
AU 2003252638	A1	20040202	AU 2003-252638	20030716
BR 2003012734	A	20050426	BR 2003-12734	20030716
EP 1541553	A1	20050615	EP 2003-764206	20030716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1681781	A	20051012	CN 2003-822088	20030716
NZ 538226	A	20060831	NZ 2003-538226	20030716
MX 2005PA00749	A	20050428	MX 2005-PA749	20050117
NO 2005000834	A	20050415	NO 2005-834	20050216
IN 2005CN00201	A	20070330	IN 2005-CN201	20050216

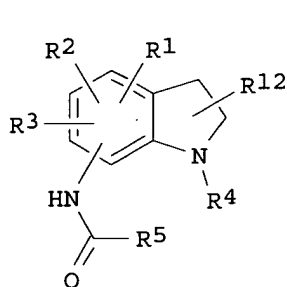
US 2006128787
PRIORITY APPLN. INFO.:

A1 20060615
MARPAT 140:111275

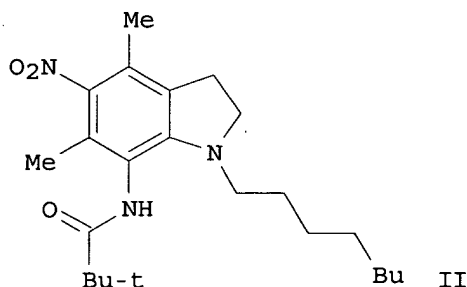
US 2005-521175
JP 2002-208878
WO 2003-JP9012

20050314
A 20020717
W 20030716

OTHER SOURCE(S):
GI



I



II

AB The title indoline compds. with general formula of I [wherein R1 and R3 = independently H, alkyl, or alkoxy; R2 = NO2, NHCONH2, (un)substituted NHSO2H, or alkyl; R4 = H, alkenyl, alkoxyalkoxy, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, (un)substituted alkyl, or COH; R5 = alkyl, cycloalkyl, or aryl; R12 = H, alkyl, alkoxyalkoxy, or alkylthioalkyl] or pharmaceutically acceptable salts thereof are prepared as acyl coA cholesterol acyltransferase (ACAT) or lipid peroxidn. inhibitors. For example, the compound II was prepared in a multi-step synthesis. I showed 71.9 to 98.1% inhibitory activity at the concentration of 1.0 μ M against liver ACAT in rabbit.

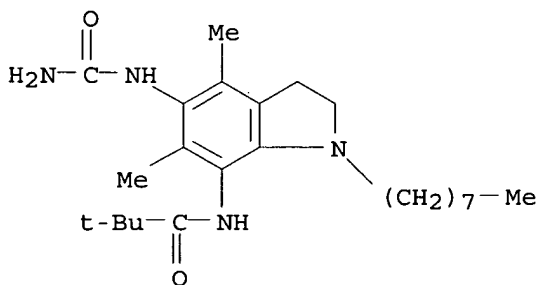
IT 647008-52-4P 647008-74-0P 647009-98-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)

RN 647008-52-4 HCAPLUS

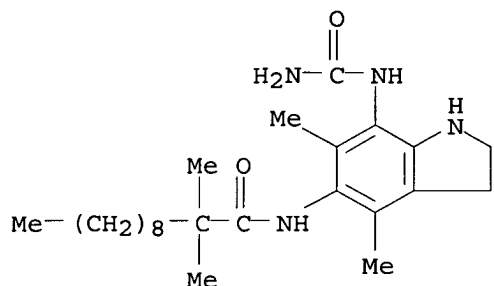
CN Propanamide, N-[5-[(aminocarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

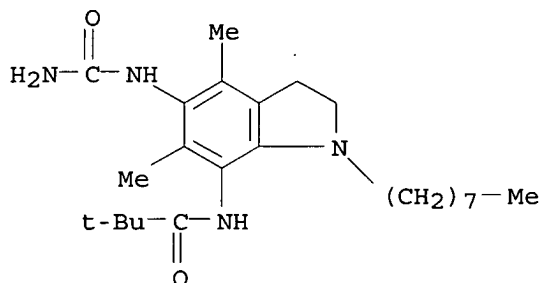
RN 647008-74-0 HCAPLUS

CN Undecanamide, N-[7-[(aminocarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]-2,2-dimethyl- (CA INDEX NAME)



RN 647009-98-1 HCAPLUS

CN Propanamide, N-[5-[(aminocarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:423439 HCAPLUS

DOCUMENT NUMBER: 111:23439

TITLE: Nonsteroidal cardiotonics. 2. The inotropic activity of linear, tricyclic 5-6-5 fused heterocycles

AUTHOR(S): Von der Saal, Wolfgang; Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd

CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.

SOURCE: Journal of Medicinal Chemistry (1989), 32(7), 1481-91

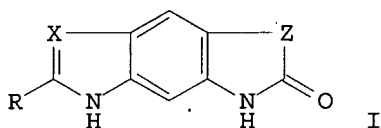
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:23439

GI



AB A series of linear, tricyclic fused heterocycles of the 5-6-5 type e.g. I (R = alkyl, alkenyl, (un)substituted Ph, heteroaryl; X = N, CH, O; Z = CMe₂, O, S NH, alkylimino) were prepared. Thus, 5,6-diamino-1,3-dihydro-3,3-dimethyl-(2H)-indol-2-one was cyclized with HCO₂H to give 90% I (R = H, X = N, Z = CMe₂). The compds. were evaluated for pos. inotropic activity in anesthetized rats, cats, and dogs. Changes in left ventricular dP/dt were measured as an index of cardiac contractility. The increase in contractility was not mediated via stimulation of β -adrenergic receptors. The data revealed the intrinsic pos. inotropic activity of the parent compound of this series I (R = H, X = N, Z = CMe₂). The structural features that impart optimal inotropic activity are presented and compared with those of the 4,5-dihydro-3(2H)-pyridazinone series. The most potent compds. were evaluated orally in conscious dogs with implant Konigsberg pressure transducers to measure ventricular pressures, and their effect on left ventricular dP/dt was compared with that of adibendan, pimobendan, and indolidan.

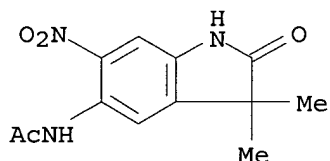
IT 100510-96-1 120791-36-8 120791-37-9
120791-38-0 120791-39-1 120791-40-4
120791-41-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(intramol. cyclization of, pyrrolobenzimidazole derivative from)

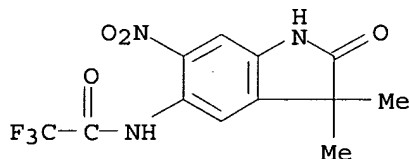
RN 100510-96-1 HCAPLUS

CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



RN 120791-36-8 HCAPLUS

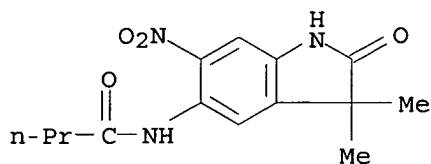
CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 120791-37-9 HCAPLUS

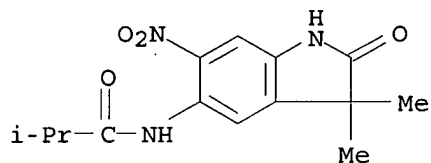
CN Butanamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)

10521175x.trn



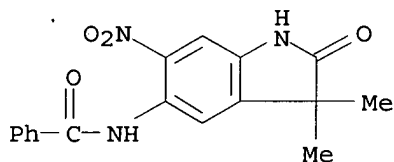
RN 120791-38-0 HCAPLUS

CN Propanamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)-2-methyl- (CA INDEX NAME)



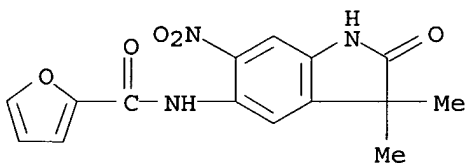
RN 120791-39-1 HCAPLUS

CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



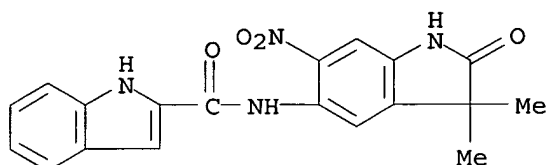
RN 120791-40-4 HCAPLUS

CN 2-Furancarboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)

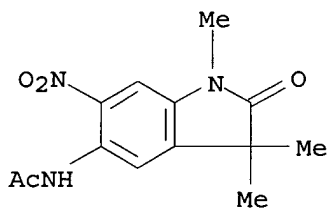


RN 120791-41-5 HCAPLUS

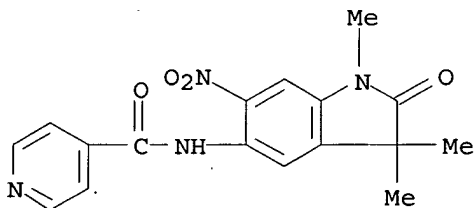
CN 1H-Indole-2-carboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



IT 120791-57-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrolysis of)
 RN 120791-57-3 HCAPLUS
 CN Acetamide, N-(2,3-dihydro-1,3,3-trimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)

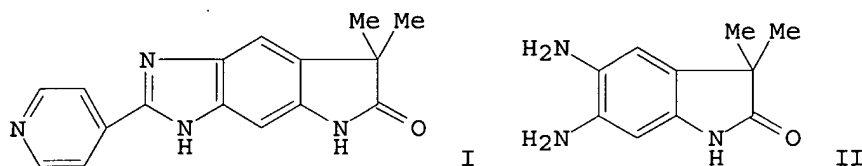


IT 116623-12-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reductive cyclization of)
 RN 116623-12-2 HCAPLUS
 CN 4-Pyridinecarboxamide, N-(2,3-dihydro-1,3,3-trimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



L15 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:515534 HCAPLUS
 DOCUMENT NUMBER: 107:115534
 TITLE: Nonsteroidal cardiotonics. 1. 2-Pyridyl-6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones, a novel class of cardiotoxic agents
 AUTHOR(S): Mertens, A.; Mueller-Beckmann, B.; Kampe, W.; Hoelck, J. P.; Von der Saal, W.
 CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.
 SOURCE: Journal of Medicinal Chemistry (1987), 30(8), 1279-87
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 107:115534
 GI



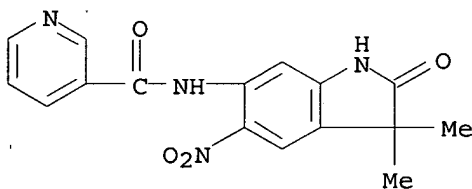
AB A series of 24 substituted pyridyldihydropyrrolobenzimidazolones, e.g., I, were synthesized and evaluated for pos. inotropic activity. Thus, cyclocondensation of diaminodimethylindolinone II with 4-pyridinecarboxylic acid in polyphosphoric acid gave I. In rats, cats, and dogs most of these tricyclic heterocycles produced a dose-related increase in myocardial contractility with little effect on heart rate and blood pressure. The increase in contractility was not mediated via stimulation of β -adrenergic receptors. Compound I was more potent than milrinone and enoximone when administered i.v. to rats, cats, and dogs. After oral administration of 1 mg/kg, I, milrinone, and pimobendan were equipotent. However, only I and pimobendan were still active after 6 h. The structural requirements necessary for optimal cardiotoxic activity within this novel class of heterocycles were investigated.

IT 100511-08-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydrogenation of)

RN 100511-08-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)

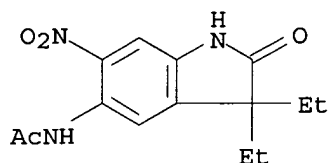


IT 100510-95-0P 100511-12-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deacetylation of)

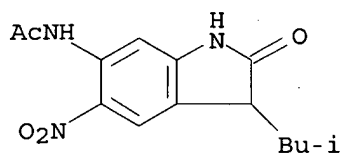
RN 100510-95-0 HCAPLUS

CN Acetamide, N-(3,3-diethyl-2,3-dihydro-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



RN 100511-12-4 HCAPLUS

CN Acetamide, N-[2,3-dihydro-3-(2-methylpropyl)-5-nitro-2-oxo-1H-indol-6-yl]-
(CA INDEX NAME)

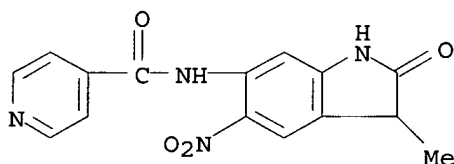


IT 100510-74-5P 100511-06-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

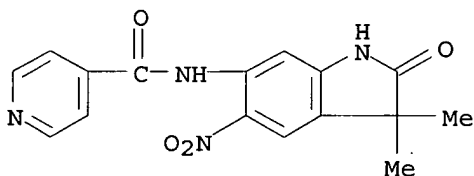
RN 100510-74-5 HCAPLUS

CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3-methyl-5-nitro-2-oxo-1H-indol-6-yl)-
(CA INDEX NAME)



RN 100511-06-6 HCAPLUS

CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)-
(CA INDEX NAME)



L15 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:553063 HCAPLUS

DOCUMENT NUMBER: 105:153063

TITLE: Pyrrolobenzimidazoles

INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang;
Mueller-Beckmann, Bern; Strein, Klaus; Schaumann,
Wolfgang

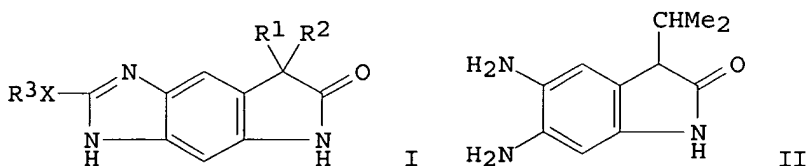
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 60 pp.

CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3445669	A1	19860619	DE 1984-3445669	19841214 <--
EP 186010	A1	19860702	EP 1985-115547	19851206 <--
EP 186010	B1	19900131		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 49970	T	19900215	AT 1985-115547	19851206 <--
IL 77262	A	19890928	IL 1985-77262	19851208 <--
AU 8551006	A	19860619	AU 1985-51006	19851209 <--
AU 580822	B2	19890202		
ZA 8509382	A	19860827	ZA 1985-9382	19851209 <--
ES 549776	A1	19870116	ES 1985-549776	19851210 <--
US 4710510	A	19871201	US 1985-807260	19851210 <--
FI 8504926	A	19860615	FI 1985-4926	19851212 <--
FI 79318	B	19890831		
FI 79318	C	19891211		
DD 242045	A5	19870114	DD 1985-284206	19851212 <--
CS 276403	B6	19920513	CS 1985-9195	19851212 <--
DK 8505791	A	19860615	DK 1985-5791	19851213 <--
JP 61158984	A	19860718	JP 1985-279391	19851213 <--
JP 04071914	B	19921116		
HU 40436	A2	19861228	HU 1985-4775	19851213 <--
HU 194241	B	19880128		
SU 1440348	A3	19881123	SU 1985-3995762	19851213 <--
CA 1262908	A1	19891114	CA 1985-497668	19851213 <--
US 4810801	A	19890307	US 1987-103895	19871001 <--
PRIORITY APPLN. INFO.:			DE 1984-3445669	A 19841214
			EP 1985-115547	A 19851206
			US 1985-807260	A2 19851210

OTHER SOURCE(S): MARPAT 105:153063
 GI



AB The title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkoxy, cyano, substituted carbonyl; R1R2 = cycloalkylene, alkylidene, cycloalkylidene; R3 = (un)substituted Ph; X = bond, alkylene, vinyl] were prepared as cardiovascular agents (no data). Thus, diaminoindole II.2HCl was cyclocondensed with 4-MeOC6H4COCl to give I (R1 = H, R2 = CHMe2, R3 = 4-MeOC6H4, X = bond).

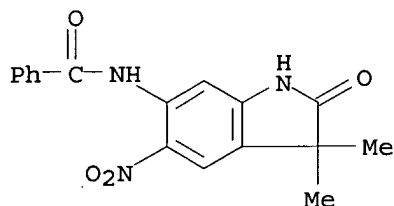
IT 104563-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation, reduction, and cyclization of)

10521175x.trn

RN 104563-92-0 HCAPLUS

CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)

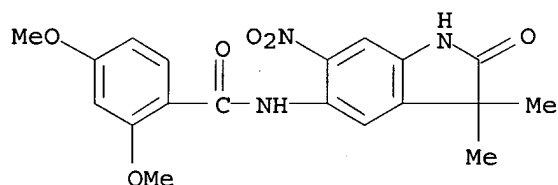


IT 104563-93-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction and cyclization of)

RN 104563-93-1 HCAPLUS

CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)-2,4-dimethoxy- (CA INDEX NAME)



L15 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:207267 HCAPLUS

DOCUMENT NUMBER: 104:207267

TITLE: Pyrrolobenzimidazoles, medicaments containing them, and intermediates

INVENTOR(S): Hoelck, Jens Peter; Mertens, Alfred; Kampe, Wolfgang; Mueller-Beckmann, Bernd; Sponer, Gisbert; Strein, Klaus

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 83 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
DE 3446417	A1	19860626	DE 1984-3446417	19841220 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
CN 85103724	A	19860702	CN 1985-103724	19850517 <--
CN 85103724	B	19880706		
PRIORITY APPLN. INFO.:			DE 1984-3417643	A 19840512

DE 1984-3446417

A 19841220

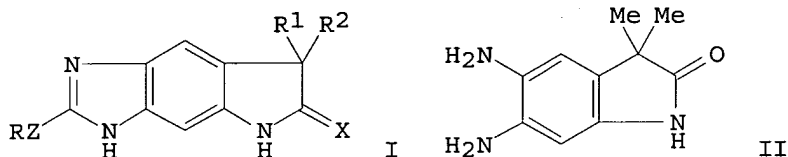
EP 1985-105675

A 19850509

OTHER SOURCE(S):

CASREACT 104:207267

GI



AB Pyrrolo[2,3-f]benzimidazolones I [R = (un)substituted (oxido)pyridinyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, R3CO; R1R2 = alkylene, alkylidene, cycloalkylidene; R3 = alkyl, alkoxy, amino, OH, H2NNH; X = O, S; Z = alkylene, CH:CH, bond], useful in treating cardiovascular diseases (no data), were prepared. Thus, 2-NCC6H4CH2CN was methylated to give 2-NCC6H4CMe2CN which was cyclized by stirring in 90% H2SO4 to give 4,4-dimethyl-1,3(2H,4H)-isoquinolinedione. The latter was converted in 7 steps to 5,6-diamino-3,3-dimethyl-1H-indol-2(3H)-one (II) which was cyclocondensed with 4-pyridinecarbonyl chloride-HCl to give I (R = 4-pyridinyl, R1 = R2 = Me, X = O, Z = bond).

IT 100511-01-1P 100511-07-7P 100511-10-2P

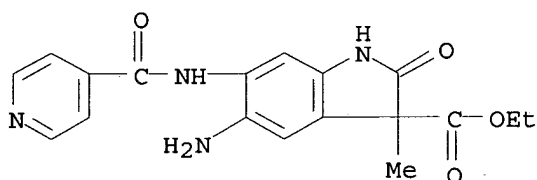
100511-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

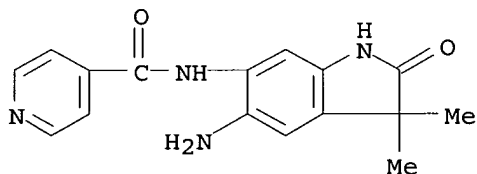
RN 100511-01-1 HCAPLUS

CN 1H-Indole-3-carboxylic acid, 5-amino-2,3-dihydro-3-methyl-2-oxo-6-[(4-pyridinylcarbonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 100511-07-7 HCAPLUS

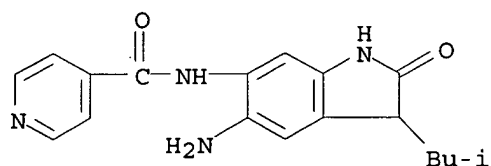
CN 4-Pyridinecarboxamide, N-(5-amino-2,3-dihydro-3,3-dimethyl-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



RN 100511-10-2 HCAPLUS

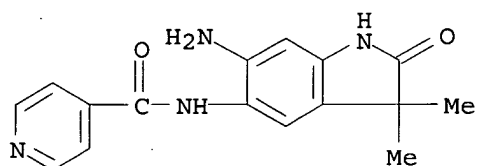
CN 4-Pyridinecarboxamide, N-[5-amino-2,3-dihydro-3-(2-methylpropyl)-2-oxo-1H-indol-6-yl]- (CA INDEX NAME)

10521175x.trn



RN 100511-15-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-(6-amino-2,3-dihydro-3,3-dimethyl-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



IT 100510-69-8P 100510-95-0P 100510-96-1P

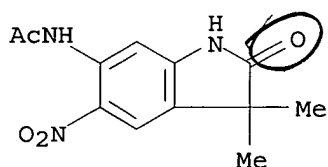
100511-12-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation of)

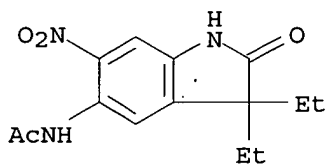
RN 100510-69-8 HCAPLUS

CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



RN 100510-95-0 HCAPLUS

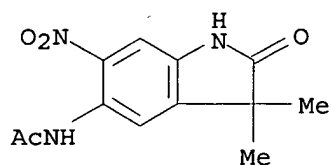
CN Acetamide, N-(3,3-diethyl-2,3-dihydro-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



RN 100510-96-1 HCAPLUS

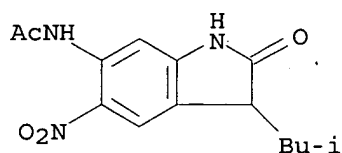
CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)

10521175x.trn



RN 100511-12-4 HCAPLUS

CN Acetamide, N-[2,3-dihydro-3-(2-methylpropyl)-5-nitro-2-oxo-1H-indol-6-yl]-
(CA INDEX NAME)

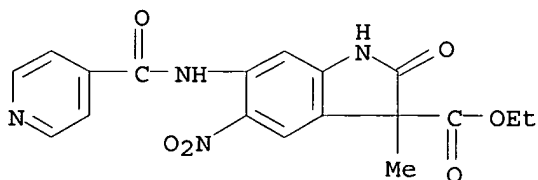


IT 100511-02-2P 100511-06-6P 100511-14-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydrogenation of)

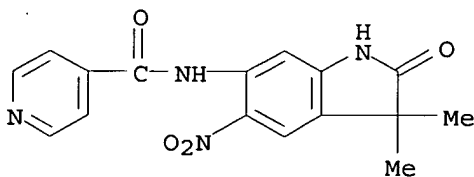
RN 100511-02-2 HCAPLUS

CN 1H-Indole-3-carboxylic acid, 2,3-dihydro-3-methyl-5-nitro-2-oxo-6-[(4-pyridinylcarbonyl)amino]-, ethyl ester (CA INDEX NAME)



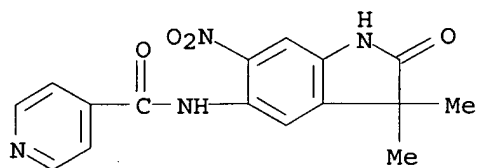
RN 100511-06-6 HCAPLUS

CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)

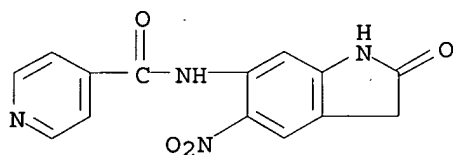


RN 100511-14-6 HCAPLUS

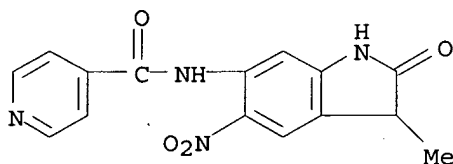
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



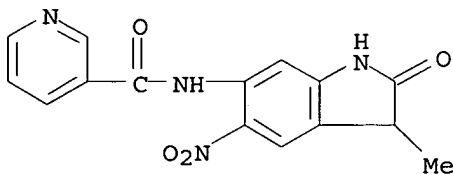
IT 100510-73-4P 100510-74-5P 100510-75-6P
 100510-76-7P 100510-77-8P 100510-78-9P
 100510-79-0P 100511-08-8P 100511-14-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reductive cyclization of)
 RN 100510-73-4 HCAPLUS
 CN 4-Pyridinecarboxamide, N-(2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA
 INDEX NAME)



RN 100510-74-5 HCAPLUS
 CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3-methyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)

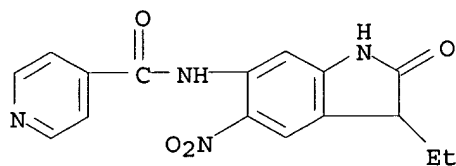


RN 100510-75-6 HCAPLUS
 CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3-methyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



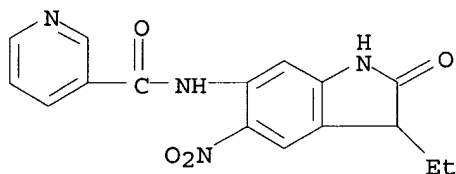
RN 100510-76-7 HCAPLUS
 CN 4-Pyridinecarboxamide, N-(3-ethyl-2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)

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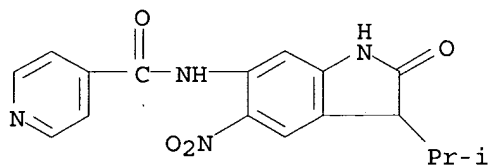
RN 100510-77-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-(3-ethyl-2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)-
(CA INDEX NAME)



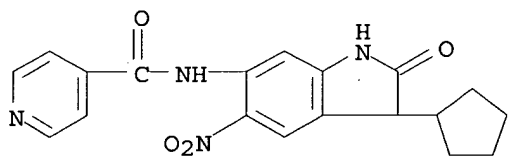
RN 100510-78-9 HCAPLUS

CN 4-Pyridinecarboxamide, N-[2,3-dihydro-3-(1-methylethyl)-5-nitro-2-oxo-1H-indol-6-yl]-
(CA INDEX NAME)



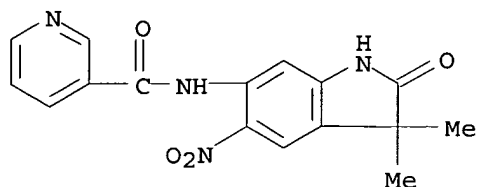
RN 100510-79-0 HCAPLUS

CN 4-Pyridinecarboxamide, N-(3-cyclopentyl-2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)-
(CA INDEX NAME)



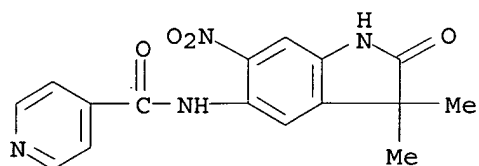
RN 100511-08-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)-
(CA INDEX NAME)



RN 100511-14-6 HCAPLUS

CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



L15 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:186410 HCAPLUS

DOCUMENT NUMBER: 104:186410

TITLE: Pyrrolobenzimidazolones, a drug containing them, and their intermediates

INVENTOR(S): Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd; Strein, Klaus; Sponer, Gisbert

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 37 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
ZA 8503375	A	19860129	ZA 1985-3375	19850506 <--
PL 144822	B1	19880730	PL 1985-253246	19850506 <--
PL 147239	B1	19890531	PL 1985-259262	19850506 <--
PL 147842	B1	19890831	PL 1985-259261	19850506 <--
PL 148017	B1	19890930	PL 1985-259263	19850506 <--
US 4666923	A	19870519	US 1985-731500	19850507 <--
IL 75120	A	19890228	IL 1985-75120	19850507 <--
IL 84769	A	19890228	IL 1985-84769	19850507 <--
AU 8542222	A	19851114	AU 1985-42222	19850509 <--
AU 560349	B2	19870402		
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 542976	A1	19860101	ES 1985-542976	19850509 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
DK 8502095	A	19851113	DK 1985-2095	19850510 <--

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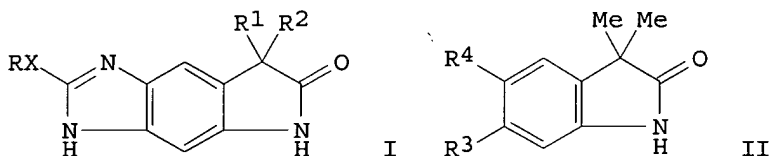
FI 8501869	A	19851113	FI 1985-1869	19850510 <--
FI 81351	B	19900629		
FI 81351	C	19901010		
NO 8501862	A	19851113	NO 1985-1862	19850510 <--
HU 37938	A2	19860328	HU 1985-1775	19850510 <--
HU 193754	B	19871130		
DD 234867	A5	19860416	DD 1985-276201	19850510 <--
SU 1480770	A3	19890515	SU 1985-3894709	19850510 <--
JP 60246386	A	19851206	JP 1985-99742	19850513 <--
JP 06047593	B	19940622		
US 4963686	A	19901016	US 1988-217143	19880705 <--
FI 8803391	A	19880715	FI 1988-3391	19880715 <--
JP 07041474	A	19950210	JP 1993-310823	19931210 <--
JP 07072185	B	19950802		

PRIORITY APPLN. INFO.:

DE 1984-3417643	A	19840512
DE 1984-3446417	A	19841220
IL 1985-75120	A	19850507
US 1985-731500	A3	19850507
EP 1985-105675	A	19850509
FI 1985-1869	A	19850510
US 1987-12098	B1	19870206

OTHER SOURCE(S): MARPAT 104:186410

GI



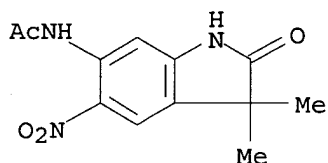
AB The title compds. [I: R = (un)substituted pyridyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl; RR1 = alkylene, alkylidene, cycloalkylidene; X = alkylene, CH:CH, bond] and their tautomers and pyridine N-oxides, useful in treatment of heart and circulatory system disorders (no data), were prepared. Thus, 2-NCC6H4CH2CN was methylated to give 100% 2-NCC6H4CMe2CN which was cyclized by heating in 90% H2SO4 to give 88% 4,4-dimethyl-1,3(2H,4H)-isoquinoline. This was nitrated (85%) and ring-contracted by the Hofmann method to give 68% 3,3-dimethyl-6-nitro-2-indolinone (II; R3 = NO2, R4 = H). The latter was converted in 5 steps to II (R3 = R4 = NH2) which was cyclocondensed with isonicotinoyl chloride-HCl to give 36% I (R = 4-pyridyl, R1 = R2 = Me, X = bond).

IT 100510-69-8P

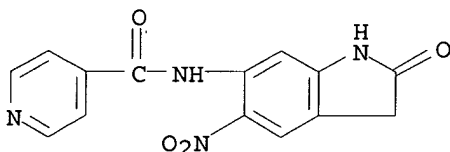
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)

RN 100510-69-8 HCAPLUS

CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



IT 100510-73-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reductive cyclization of)
 RN 100510-73-4 HCAPLUS
 CN 4-Pyridinecarboxamide, N-(2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA
 INDEX NAME)



L15 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:217842 HCAPLUS

DOCUMENT NUMBER: 96:217842

ORIGINAL REFERENCE NO.: 96:36001a,36004a

TITLE: Tricyclic imidazole derivatives and their therapeutic use

INVENTOR(S): Krasso, Anna; Ramuz, Henri

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Belg., 49 pp.
 CODEN: BEXXAL

DOCUMENT TYPE: Patent

LANGUAGE: French

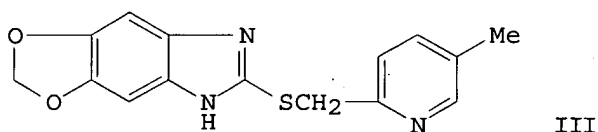
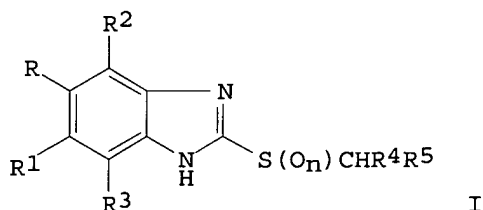
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 890024	A1	19820222	BE 1981-205721	19810820 <--
CH 644116	A5	19840713	CH 1980-6321	19800821 <--
DK 8103009	A	19820222	DK 1981-3009	19810707 <--
NL 8103690	A	19820316	NL 1981-3690	19810805 <--
US 4435406	A	19840306	US 1981-290032	19810805 <--
AU 8174089	A	19820225	AU 1981-74089	19810814 <--
AU 541834	B2	19850124		
ZA 8105633	A	19820825	ZA 1981-5633	19810814 <--
IL 63576	A	19851031	IL 1981-63576	19810814 <--
DE 3132613	A1	19820624	DE 1981-3132613	19810818 <--
FR 2488890	A1	19820226	FR 1981-15936	19810819 <--
FR 2488890	B1	19850111		
CA 1134829	A1	19821102	CA 1981-384169	19810819 <--
SE 8104941	A	19820222	SE 1981-4941	19810820 <--
SE 452765	B	19871214		
SE 452765	C	19880324		

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GB 2082580	A	19820310	GB 1981-25486	19810820 <--
GB 2082580	B	19840307		
JP 57070886	A	19820501	JP 1981-129475	19810820 <--
AT 8103643	A	19840515	AT 1981-3643	19810820 <--
AT 376665	B	19841227		
US 4554280	A	19851119	US 1983-560698	19831212 <--
US 4599347	A	19860708	US 1983-560699	19831212 <--
PRIORITY APPLN. INFO.:			CH 1980-6321	A 19800821
			US 1981-290032	A3 19810805
OTHER SOURCE(S):		CASREACT 96:217842; MARPAT 96:217842		
GI				



AB Imidazoles I [RR1 = CH:CHCH:CH, (un)substituted (CH2)3, (CH2)4, CH2CH2NH, O(CH2)1-30, CH2OCH2CH2O; R2-R4 = H, alkyl; R5 = (un)substituted 2-pyridyl; n = 0, 1] were prepared. Thus 1,3-benzodioxole was converted to the 5-nitro derivative and reduced to the amine which was acetylated and nitrated to give 5-acetamido-6-nitro-1,3-benzodioxole (II). Deacylation of II and reduction gave 5,6-diamino-1,3-benzodioxole which was treated with EtOCS2K to give 5H-1,3-dioxolo[4,5-f]benzimidazole-6-thiol. Treatment of the thiol with 2-chloromethyl-5-methylpyridine gave III which had a ED50 in the Heidenhain test of 1.8 mg/kg orally in dogs.

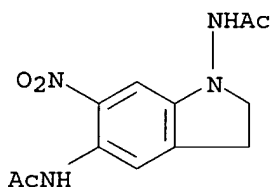
IT 81864-37-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation of)

RN 81864-37-1 HCAPLUS

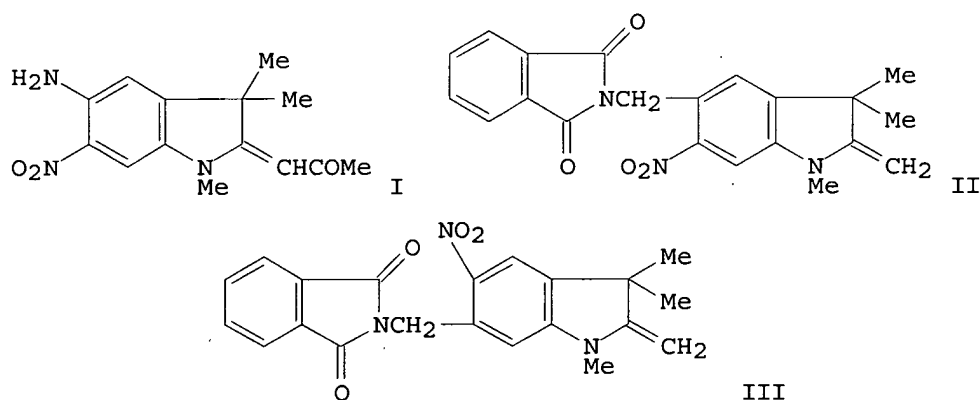
CN Acetamide, N,N'-(2,3-dihydro-6-nitro-1H-indole-1,5-diyl)bis- (9CI) (CA INDEX NAME)



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L13 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:632021 HCAPLUS
DOCUMENT NUMBER: 115:232021
TITLE: 2-Methyl- and 2-methyleneindole derivatives. 3.
5,6-Disubstituted aminonitro derivatives of 2-methyl-
and 2-methyleneindolines
AUTHOR(S): Tolmachev, A. A.; Tolmacheva, V. S.; Shevchuk, L. I.;
Turov, A. V.; Kozlov, E. S.; Babichev, F. S.
CORPORATE SOURCE: Kiev. Gos. Univ., Kiev, 252017, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1990
) , (11), 1495-9
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 115:232021
GI



AB The methods of preparation of aminonitro derivs. of methyleneindolines, e.g.,
(I), (II) and (III) were examined

L13 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:20899 HCAPLUS
DOCUMENT NUMBER: 112:20899
TITLE: Indolines as intermediates for pyrrolobenzimidazole
cardiovascular agents
INVENTOR(S): Martens, Alfred; Hoelck, Jens Peter; Berger, Herbert;
Mueller-Beckman, Bernd; Strein, Klaus; Roesch, Egon
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 4,695,567.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4835280	A	19890530	US 1987-72917	19870714 <--
DE 3501497	A1	19860724	DE 1985-3501497	19850118 <--
US 4695567	A	19870922	US 1986-820259	19860117 <--

PRIORITY APPLN. INFO.:

DE 1985-3501497

A 19850118

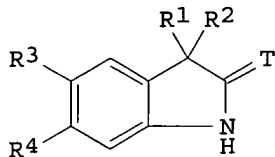
US 1986-820259

A2 19860117

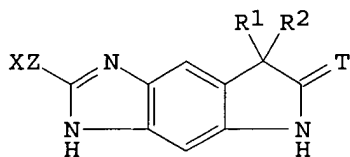
OTHER SOURCE(S):

CASREACT 112:20899

GI



I



II

AB Indolines I [R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, cyano, alkyl, alkenyl, COR5; R5 = OH, alkyl, alkoxy, (mono- or dialkyl-substituted) NH2, HNNH2; one of R3 and R4 = H and the other = NHCOZX; Z = bond, alkylene, CH:CH; X = furanyl, thiophenyl, oxazolyl, imidazolyl, etc.); T = O, S] are prepared as intermediates for pyrrolobenzimidazoles II. II are useful for increasing the strength of heart and/or influencing thrombocyte function and improving the microcirculation and/or lowering blood pressure. Treatment of I.HCl (R1 = Me, R2 = EtO2C, R3 = H, R4 = NH2, T = O) with pyrazine-2-carboxylic acid chloride in CH2Cl2 in the presence of Et3N gave I (R4 = 2-pyrazinylcarbonylamino), followed by nitration with NaNO2 in H2SO4 to afford I (R3 = NO2), which in EtOH was hydrogenated in the presence of Pd/C and the product was treated in AcOH to afford II (R1 = Me, R2 = EtO2C, XZ = 2-pyrazinyl, T = O). The latter showed 0.04 mg/kg i.v. DE1.5 mHg/s [the equipotent doses DE1.5 = the dose that lead to an increase of (dp/dt)60 of 1.5 mHg/s].

L13 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:515180 HCAPLUS

DOCUMENT NUMBER: 111:115180

TITLE: Preparation of 6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones as cardiovascular agents

INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang; Mueller-Beckmann, Bernd; Strein, Klaus; Schaumann, Wolfgang

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 807,260.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

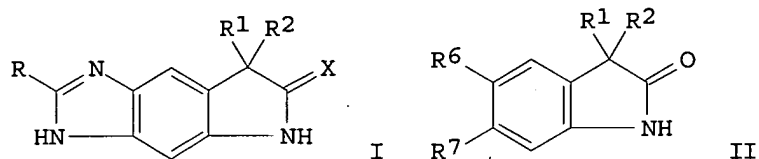
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4810801	A	19890307	US 1987-103895	19871001 <--
DE 3445669	A1	19860619	DE 1984-3445669	19841214 <--
US 4710510	A	19871201	US 1985-807260	19851210 <--
PRIORITY APPLN. INFO.:			DE 1984-3445669	A 19841214
			US 1985-807260	A2 19851210

OTHER SOURCE(S): CASREACT 111:115180; MARPAT 111:115180

GI



AB The title compds. [I; R = QZ; Q = R3-R5-substituted phenyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, cyano, alkyl, alkenyl, (un)substituted CO₂H; R1R2 = alkylidene, cycloalkylidene; R3-R5 = H, OH, alkoxy, alkylthio, halo, NO₂, cyano, etc.; X = O, S; Z = bond, alkylene, vinylene] were prepared, e.g., by condensation of indolinone II (R₆ = R₇ = NH₂) with QZCOCl. II (R₁ = R₂ = Me, R₆ = NO₂, R₇ = NH₂) was stirred with BzCl and the product hydrogenated over Pd/C to give 81% I (R = Ph, R₁ = R₂ = Me, X = O). Similarly prepared I [R = 2,4-(MeO)₂C₆H₃, R₁ = R₂ = Me, X = O] gave an increase of rat heart contractility of 4.2 mmHg/s at 10 mg/kg i.v.

L13 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:423439 HCAPLUS

DOCUMENT NUMBER: 111:23439

TITLE: Nonsteroidal cardiotonics. 2. The inotropic activity of linear, tricyclic 5-6-5 fused heterocycles

AUTHOR(S): Von der Saal, Wolfgang; Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd
CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.

SOURCE: Journal of Medicinal Chemistry (1989), 32(7), 1481-91

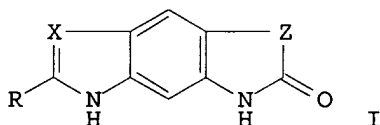
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:23439

GI



AB A series of linear, tricyclic fused heterocycles of the 5-6-5 type e.g. I (R = alkyl, alkenyl, (un)substituted Ph, heteroaryl; X = N, CH, O; Z = CMe₂, O, S NH, alkylimino) were prepared. Thus, 5,6-diamino-1,3-dihydro-3,3dimethyl-(2H)-indol-2-one was cyclized with HCO₂H to give 90% I (R = H, X = N, Z = CMe₂). The compds. were evaluated for pos. inotropic activity in anesthetized rats, cats, and dogs. Changes in left ventricular dP/dt were measured as an index of cardiac contractility. The increase in contractility was not mediated via stimulation of β -adrenergic receptors. The data revealed the intrinsic pos. inotropic activity of the parent compound of this series I (R = H, X = N, Z = CMe₂). The structural features that impart optimal inotropic activity are presented and compared with those of the 4,5-dihydro-3(2H)-pyridazinone series. The most potent compds. were evaluated orally in conscious dogs with implant Konigsberg pressure transducers to measure ventricular pressures, and their effect on left ventricular dP/dt was compared with that of adibendan, pimobendan,

and indolidan.

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:549537 HCAPLUS

DOCUMENT NUMBER: 109:149537

TITLE: Preparation of pyrrolobenzimidazoles as cardiovascular agents

INVENTOR(S): Friebe, Walter Gunnar; Mertens, Alfred; Strein, Klaus; Boehm, Erwin

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

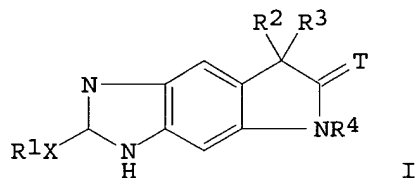
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3642315	A1	19880623	DE 1986-3642315	19861211 <--
EP 271040	A2	19880615	EP 1987-118046	19871207 <--
EP 271040	A3	19891102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8709166	A	19880831	ZA 1987-9166	19871207 <--
DD 267047	A5	19890419	DD 1987-310045	19871207 <--
JP 63162692	A	19880706	JP 1987-309792	19871209 <--
DK 8706501	A	19880612	DK 1987-6501	19871210 <--
FI 8705438	A	19880612	FI 1987-5438	19871210 <--
HU 47278	A2	19890228	HU 1987-5579	19871210 <--
HU 200339	B	19900528		
US 4863945	A	19890905	US 1987-131367	19871210 <--
AU 8782450	A	19880616	AU 1987-82450	19871211 <--
PRIORITY APPLN. INFO.:			DE 1986-3642315	A 19861211
OTHER SOURCE(S):		CASREACT 109:149537; MARPAT 109:149537		

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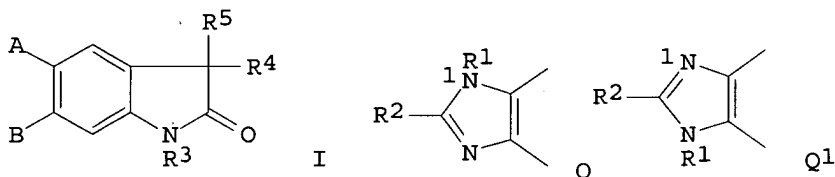


AB The title compds. [I; R1 = H, (substituted) Ph, naphthyl, heterocyclyl, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, OH, SH, amino, etc.; R2 = H, alkyl, alkenyl, cycloalkyl; R3 = alkyl, alkenyl, hydroxyalkyl; R2R3 = alkylene, alkylidene, cycloalkylidene; R4 = H, alkanoyl; X = bond, alkylene, vinylene, NH, CONH; T = H2, O] and their tautomers and physiol. acceptable salts were prepared as cardiovascular agents (no data). 3,3-Dimethylindoline was converted in several steps to 5-acetamido-1-acetyl-3,3-dimethyl-6-nitroindoline and the latter was hydrogenated over Raney Ni in THF at 40° and 1 bar; the product amine was refluxed in EtOH saturated with HCl to give 2,7,7-trimethyl-3,5,6,7-tetrahydropyrrolo[2,3-f]benzimidazole-2HCl.

L13 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:549533 HCAPLUS
 DOCUMENT NUMBER: 109:149533
 TITLE: Preparation and formulation of pyrrolobenzimidazoles,
 as drugs
 INVENTOR(S): Narr, Berthold; Hael, Norbert; Noll, Klaus; Heider,
 Joachim; Psiorz, Manfred; Bomhard, Andreas; Van Meel,
 Jacobus; Diederer, Willi
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 12 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3639466	A1	19880519	DE 1986-3639466	19861118 <--
EP 268178	A1	19880525	EP 1987-116512	19871109 <--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 272081	A5	19890927	DD 1987-309081	19871116 <--
AU 8781299	A	19880519	AU 1987-81299	19871117 <--
DK 8706024	A	19880519	DK 1987-6024	19871117 <--
FI 8705076	A	19880519	FI 1987-5076	19871117 <--
NO 8704780	A	19880519	NO 1987-4780	19871117 <--
JP 63135385	A	19880607	JP 1987-290467	19871117 <--
HU 47277	A2	19890228	HU 1987-5099	19871117 <--
ZA 8708590	A	19890726	ZA 1987-8590	19871117 <--
PRIORITY APPLN. INFO.:			DE 1986-3639466	A 19861118
OTHER SOURCE(S):	CASREACT 109:149533; MARPAT 109:149533			
GI				

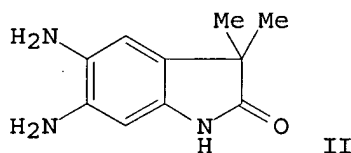
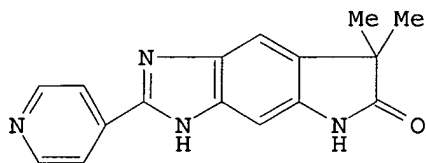


AB Pyrrolobenzimidazoles I [AB together with the adjacent C's = Q, Q1; R1 = H, Ph (un)substituted alkyl; R2 = (un)substituted Ph, alkyl (un)substituted pyridyl; R3 = Ph (un)substituted alkyl or alkyl substituted, starting at position 2, with OH or alkoxy; R4, R5 = H, alkyl, cycloalkyl] and their acid addition salts, having a pos. inotropic activity with a small effect on blood pressure and an antithrombotic activity, were prepared 5-Isonicotinoylamino-6-nitro-1,3,3-trimethylindolin-2-one in AcOH was treated with Raney Ni and hydrogenated 4 h at 80°/5 bar H to give 58.5% 2-(4-pyridyl)-5,7,7-trimethyl-6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-one (II). At 0.3 mg/kg in cats, II gave 23 mm lowering of diastolic blood pressure, 40% increase in contractility parameter, and 4% increase in heart frequency, with half-life of activity time = 21 min. A typical tablet formulation comprises II 50.0, lactose 40.0, corn starch 17.0, polyvinylpyrrolidone 2.0, and Mg stearate 1.0 mg.

L13 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

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ACCESSION NUMBER: 1987:515534 HCAPLUS
DOCUMENT NUMBER: 107:115534
TITLE: Nonsteroidal cardiotonics. 1. 2-Pyridyl-6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones, a novel class of cardiotonic agents
AUTHOR(S): Mertens, A.; Mueller-Beckmann, B.; Kampe, W.; Hoelck, J. P.; Von der Saal, W.
CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.
SOURCE: Journal of Medicinal Chemistry (1987), 30(8), 1279-87
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:115534
GI



AB A series of 24 substituted pyridyldihydropyrrolobenzimidazolones, e.g., I, were synthesized and evaluated for pos. inotropic activity. Thus, cyclocondensation of diaminodimethylindolinone II with 4-pyridinecarboxylic acid in polyphosphoric acid gave I. In rats, cats, and dogs most of these tricyclic heterocycles produced a dose-related increase in myocardial contractility with little effect on heart rate and blood pressure. The increase in contractility was not mediated via stimulation of β -adrenergic receptors. Compound I was more potent than milrinone and enoximone when administered i.v. to rats, cats, and dogs. After oral administration of 1 mg/kg, I, milrinone, and pimobendan were equipotent. However, only I and pimobendan were still active after 6 h. The structural requirements necessary for optimal cardiotonic activity within this novel class of heterocycles were investigated.

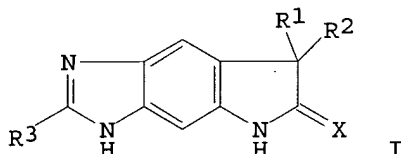
L13 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:439825 HCAPLUS
DOCUMENT NUMBER: 107:39825
TITLE: Preparation of pyrrolobenzimidazolones for treatment of cardiovascular disease
INVENTOR(S): Saal, Wolfgang; Mertens, Alfred; Berger, Herbert; Mueller-Beckmann, Bernd
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3531678	A1	19870312	DE 1985-3531678	19850905 <--
IL 79910	A	19900209	IL 1986-79910	19860901 <--

10521175x.trn

DK 8604189	A	19870306	DK 1986-4189	19860902 <--
AU 8662165	A	19870312	AU 1986-62165	19860902 <--
AU 584235	B2	19890518		
EP 214592	A2	19870318	EP 1986-112093	19860902 <--
EP 214592	A3	19880706		
EP 214592	B1	19910814		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DD 253821	A5	19880203	DD 1986-294089	19860902 <--
AT 66230	T	19910815	AT 1986-112093	19860902 <--
FI 8603565	A	19870306	FI 1986-3565	19860904 <--
ZA 8606704	A	19870527	ZA 1986-6704	19860904 <--
HU 42770	A2	19870828	HU 1986-3827	19860904 <--
HU 197010	B	19890228		
US 4730003	A	19880308	US 1986-904094	19860904 <--
JP 62059279	A	19870314	JP 1986-208117	19860905 <--
ES 2001774	A6	19880616	ES 1986-1647	19860905 <--
PRIORITY APPLN. INFO.:			DE 1985-3531678	A 19850905
			EP 1986-112093	A 19860902
OTHER SOURCE(S):			CASREACT 107:39825; MARPAT 107:39825	
GI				



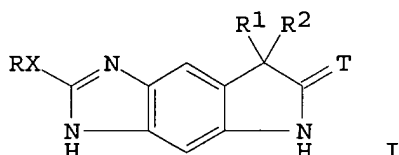
AB The title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, (modified) carboxylate; R1R2 = alkylidene, atoms to complete a ring; R3 = H, OH, SH, alkylthio, amino, amido, alkyl, cycloalkyl, etc; X = S, O] were prepared as cardiovascular agents (no data). 5,6-Diamino-3,3-dimethyl-2-indolinone was refluxed for 4.5 h in HCO₂H to give 91% I (R1 = R2 = Me, R3 = H, X = O).

L13 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:591088 HCAPLUS
DOCUMENT NUMBER: 105:191088
TITLE: Pyrrolobenzimidazoles
INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Berger, Herbert; Mueller-Beckmann, Bernd; Strein, Klaus; Roesch, Egon
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3501497	A1	19860724	DE 1985-3501497	19850118 <--
IL 77582	A	19900118	IL 1986-77582	19860113 <--
AU 8652245	A	19860724	AU 1986-52245	19860114 <--
AU 580832	B2	19890202		

EP 189103	A2	19860730	EP 1986-100451	19860115 <--
EP 189103	A3	19871223		
EP 189103	B1	19910102		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 59649	T	19910115	AT 1986-100451	19860115 <--
DK 8600207	A	19860719	DK 1986-207	19860116 <--
DD 253620	A5	19880127	DD 1986-286253	19860116 <--
FI 8600228	A	19860719	FI 1986-228	19860117 <--
FI 81579	B	19900731		
FI 81579	C	19901112		
JP 61167689	A	19860729	JP 1986-6617	19860117 <--
ZA 8600360	A	19860924	ZA 1986-360	19860117 <--
HU 41791	A2	19870528	HU 1986-241	19860117 <--
HU 194242	B	19880128		
US 4695567	A	19870922	US 1986-820259	19860117 <--
ES 551002	A1	19880301	ES 1986-551002	19860117 <--
SU 1470191	A3	19890330	SU 1986-4012604	19860117 <--
US 4835280	A	19890530	US 1987-72917	19870714 <--
ES 557777	A1	19890116	ES 1987-557777	19871209 <--
ES 557777	A5	19890127		
FI 8903090	A	19890622	FI 1989-3090	19890622 <--
PRIORITY APPLN. INFO.:		DE 1985-3501497	A	19850118
		EP 1986-100451	A	19860115
		FI 1986-228	A	19860117
		US 1986-820259	A2	19860117
OTHER SOURCE(S):		MARPAT 105:191088		
GI				



AB Pyrrolobenzimidazoles I [R = 6-membered heterocyclyl with O or S atom or 2-5 hetero atoms, 5-membered heterocyclyl with 1-4 hetero atoms, all (un)substituted; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, substituted carbonyl; R1R2 = cycloalkylene, alkylidene, cycloalkylidene; X = bond, C1-4 alkylene, vinyl; T = O, S], useful in strengthening the heart and(or) as antihypertensives and(or) influencing thrombocyte function and improving microcirculation (no data), were prepared by 3 methods. 6-Amino-5-nitro-3,3-dimethyl-2-indolinone in pyridine was acylated with 2-furancarbonyl chloride, the product 6-furanoylamino-5-nitro-3,3-dimethyl-2-indolinone hydrogenated over 10% Pd/C, and the resultant 5-amino analog cyclized with concentrated HCl in EtOH for 1 h at 80° to give 33.3% I (R = 2-furanyl, R1 = R2 = Me, X = bond, T = O).

L13 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:553063 HCAPLUS

DOCUMENT NUMBER: 105:153063

TITLE: Pyrrolobenzimidazoles

INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang; Mueller-Beckmann, Bern; Strein, Klaus; Schaumann, Wolfgang

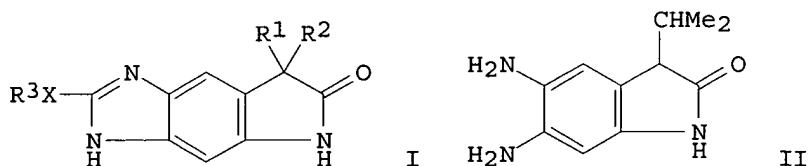
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 60 pp.

CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3445669	A1	19860619	DE 1984-3445669	19841214 <--
EP 186010	A1	19860702	EP 1985-115547	19851206 <--
EP 186010	B1	19900131		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 49970	T	19900215	AT 1985-115547	19851206 <--
IL 77262	A	19890928	IL 1985-77262	19851208 <--
AU 8551006	A	19860619	AU 1985-51006	19851209 <--
AU 580822	B2	19890202		
ZA 8509382	A	19860827	ZA 1985-9382	19851209 <--
ES 549776	A1	19870116	ES 1985-549776	19851210 <--
US 4710510	A	19871201	US 1985-807260	19851210 <--
FI 8504926	A	19860615	FI 1985-4926	19851212 <--
FI 79318	B	19890831		
FI 79318	C	19891211		
DD 242045	A5	19870114	DD 1985-284206	19851212 <--
CS 276403	B6	19920513	CS 1985-9195	19851212 <--
DK 8505791	A	19860615	DK 1985-5791	19851213 <--
JP 61158984	A	19860718	JP 1985-279391	19851213 <--
JP 04071914	B	19921116		
HU 40436	A2	19861228	HU 1985-4775	19851213 <--
HU 194241	B	19880128		
SU 1440348	A3	19881123	SU 1985-3995762	19851213 <--
CA 1262908	A1	19891114	CA 1985-497668	19851213 <--
US 4810801	A	19890307	US 1987-103895	19871001 <--
PRIORITY APPLN. INFO.:			DE 1984-3445669	A 19841214
			EP 1985-115547	A 19851206
			US 1985-807260	A2 19851210

OTHER SOURCE(S): MARPAT 105:153063
 GI



AB The title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkoxy, cyano, substituted carbonyl; R1R2 = cycloalkylene, alkylidene, cycloalkylidene; R3 = (un)substituted Ph; X = bond, alkylene, vinyl] were prepared as cardiovascular agents (no data). Thus, diaminobenzimidazole II.2HCl was cyclocondensed with 4-MeOC6H4COCl to give I (R1 = H, R2 = CHMe2, R3 = 4-MeOC6H4, X = bond).

L13 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

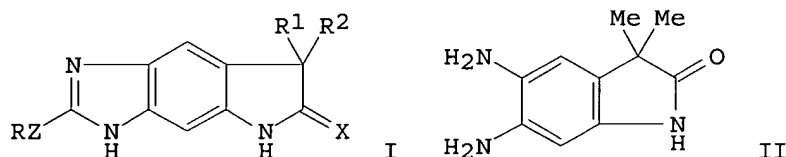
ACCESSION NUMBER: 1986:207267 HCAPLUS

DOCUMENT NUMBER: 104:207267

TITLE: Pyrrolobenzimidazoles, medicaments containing them, and intermediates

INVENTOR(S): Hoelck, Jens Peter; Mertens, Alfred; Kampe, Wolfgang;
Mueller-Beckmann, Bernd; Sponer, Gisbert; Strein,
Klaus
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 83 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
DE 3446417	A1	19860626	DE 1984-3446417	19841220 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
CN 85103724	A	19860702	CN 1985-103724	19850517 <--
CN 85103724	B	19880706		
PRIORITY APPLN. INFO.:			DE 1984-3417643	A 19840512
			DE 1984-3446417	A 19841220
			EP 1985-105675	A 19850509
OTHER SOURCE(S):			CASREACT 104:207267	
GI				



AB Pyrrolo[2,3-f]benzimidazolones I [R = (un)substituted (oxido)pyridinyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, R3CO; R1R2 = alkylene, alkylidene, cycloalkylidene; R3 = alkyl, alkoxy, amino, OH, H2NNH; X = O, S; Z = alkylene, CH:CH, bond], useful in treating cardiovascular diseases (no data), were prepared. Thus, 2-NCC6H4CH2CN was methylated to give 2-NCC6H4CMe2CN which was cyclized by stirring in 90% H2SO4 to give 4,4-dimethyl-1,3(2H,4H)-isoquinolinedione. The latter was converted in 7 steps to 5,6-diamino-3,3-dimethyl-1H-indol-2(3H)-one (II) which was cyclocondensed with 4-pyridinecarbonyl chloride-HCl to give I (R = 4-pyridinyl, R1 = R2 = Me, X = O, Z = bond).

L13 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:186410 HCAPLUS

DOCUMENT NUMBER: 104:186410

TITLE: Pyrrolobenzimidazolones, a drug containing them, and their intermediates

INVENTOR(S): Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd; Strein, Klaus; Sponer, Gisbert

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 37 pp.

CODEN: GWXXBX

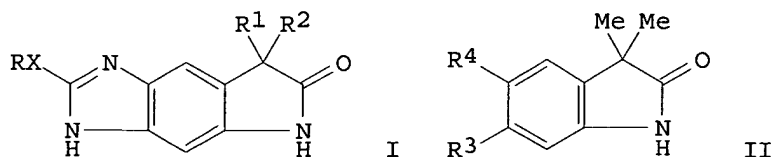
DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
ZA 8503375	A	19860129	ZA 1985-3375	19850506 <--
PL 144822	B1	19880730	PL 1985-253246	19850506 <--
PL 147239	B1	19890531	PL 1985-259262	19850506 <--
PL 147842	B1	19890831	PL 1985-259261	19850506 <--
PL 148017	B1	19890930	PL 1985-259263	19850506 <--
US 4666923	A	19870519	US 1985-731500	19850507 <--
IL 75120	A	19890228	IL 1985-75120	19850507 <--
IL 84769	A	19890228	IL 1985-84769	19850507 <--
AU 8542222	A	19851114	AU 1985-42222	19850509 <--
AU 560349	B2	19870402		
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 542976	A1	19860101	ES 1985-542976	19850509 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
DK 8502095	A	19851113	DK 1985-2095	19850510 <--
FI 8501869	A	19851113	FI 1985-1869	19850510 <--
FI 81351	B	19900629		
FI 81351	C	19901010		
NO 8501862	A	19851113	NO 1985-1862	19850510 <--
HU 37938	A2	19860328	HU 1985-1775	19850510 <--
HU 193754	B	19871130		
DD 234867	A5	19860416	DD 1985-276201	19850510 <--
SU 1480770	A3	19890515	SU 1985-3894709	19850510 <--
JP 60246386	A	19851206	JP 1985-99742	19850513 <--
JP 06047593	B	19940622		
US 4963686	A	19901016	US 1988-217143	19880705 <--
FI 8803391	A	19880715	FI 1988-3391	19880715 <--
JP 07041474	A	19950210	JP 1993-310823	19931210 <--
JP 07072185	B	19950802		

PRIORITY APPLN. INFO.:

DE 1984-3417643	A	19840512
DE 1984-3446417	A	19841220
IL 1985-75120	A	19850507
US 1985-731500	A3	19850507
EP 1985-105675	A	19850509
FI 1985-1869	A	19850510
US 1987-12098	B1	19870206

OTHER SOURCE(S): MARPAT 104:186410
 GI



AB The title compds. [I: R = (un)substituted pyridyl; R1 = H, alkyl, alkenyl,

cycloalkyl; R2 = H, alkyl, alkenyl; RR1 = alkylene, alkylidene, cycloalkylidene; X = alkylene, CH:CH, bond] and their tautomers and pyridine N-oxides, useful in treatment of heart and circulatory system disorders (no data), were prepared. Thus, 2-NCC6H4CH2CN was methylated to give 100% 2-NCC6H4CMe2CN which was cyclized by heating in 90% H2SO4 to give 88% 4,4-dimethyl-1,3(2H,4H)-isoquinoline. This was nitrated (85%) and ring-contracted by the Hofmann method to give 68% 3,3-dimethyl-6-nitro-2-indolinone (II; R3 = NO2, R4 = H). The latter was converted in 5 steps to II (R3 = R4 = NH2) which was cyclocondensed with isonicotinoyl chloride-HCl to give 36% I (R = 4-pyridyl, R1 = R2 = Me, X = bond).

L13 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:217842 HCAPLUS

DOCUMENT NUMBER: 96:217842

ORIGINAL REFERENCE NO.: 96:36001a,36004a

TITLE: Tricyclic imidazole derivatives and their therapeutic use

INVENTOR(S): Krasso, Anna; Ramuz, Henri

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Belg., 49 pp.
CODEN: BEXXAL

DOCUMENT TYPE: Patent

LANGUAGE: French

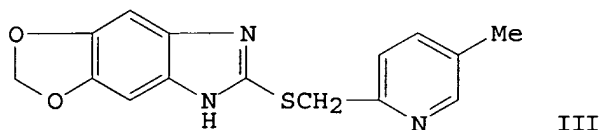
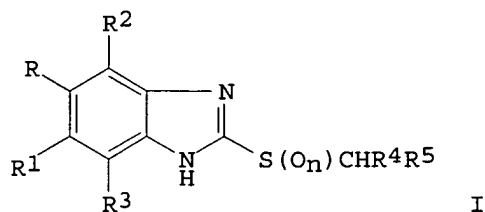
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 890024	A1	19820222	BE 1981-205721	19810820 <--
CH 644116	A5	19840713	CH 1980-6321	19800821 <--
DK 8103009	A	19820222	DK 1981-3009	19810707 <--
NL 8103690	A	19820316	NL 1981-3690	19810805 <--
US 4435406	A	19840306	US 1981-290032	19810805 <--
AU 8174089	A	19820225	AU 1981-74089	19810814 <--
AU 541834	B2	19850124		
ZA 8105633	A	19820825	ZA 1981-5633	19810814 <--
IL 63576	A	19851031	IL 1981-63576	19810814 <--
DE 3132613	A1	19820624	DE 1981-3132613	19810818 <--
FR 2488890	A1	19820226	FR 1981-15936	19810819 <--
FR 2488890	B1	19850111		
CA 1134829	A1	19821102	CA 1981-384169	19810819 <--
SE 8104941	A	19820222	SE 1981-4941	19810820 <--
SE 452765	B	19871214		
SE 452765	C	19880324		
GB 2082580	A	19820310	GB 1981-25486	19810820 <--
GB 2082580	B	19840307		
JP 57070886	A	19820501	JP 1981-129475	19810820 <--
AT 8103643	A	19840515	AT 1981-3643	19810820 <--
AT 376665	B	19841227		
US 4554280	A	19851119	US 1983-560698	19831212 <--
US 4599347	A	19860708	US 1983-560699	19831212 <--
PRIORITY APPLN. INFO.:			CH 1980-6321	A 19800821
			US 1981-290032	A3 19810805

OTHER SOURCE(S): CASREACT 96:217842; MARPAT 96:217842

GI

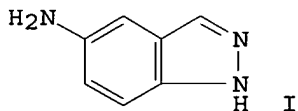


AB Imidazoles I [RR1 = CH:CHCH:CH, (un)substituted (CH2)3, (CH2)4, CH2CH2NH, O(CH2)1-30, CH2OCH2CH2O; R2-R4 = H, alkyl; R5 = (un)substituted 2-pyridyl; n = 0, 1] were prepared. Thus 1,3-benzodioxole was converted to the 5-nitro derivative and reduced to the amine which was acetylated and nitrated to give 5-acetamido-6-nitro-1,3-benzodioxole (II). Deacylation of II and reduction gave 5,6-diamino-1,3-benzodioxole which was treated with EtOCS2K to give 5H-1,3-dioxolo[4,5-f]benzimidazole-6-thiol. Treatment of the thiol with 2-chloromethyl-5-methylpyridine gave III which had a ED50 in the Heidenhain test of 1.8 mg/kg orally in dogs.

L13 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1977:195067 HCAPLUS
 DOCUMENT NUMBER: 86:195067
 ORIGINAL REFERENCE NO.: 86:30529a,30532a
 TITLE: Dyeing hair with indolines indoles and indazoles
 INVENTOR(S): Parent, Richard Alfred; Loffelman, Frank Fred
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: U.S., 5 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4013404	A	19770322	US 1975-565883	19750407 <--
PRIORITY APPLN. INFO.: GI			US 1970-96224	A1 19701206



AB Hair dyeing compns. for oxidative or direct dyeing methods contain indolines, indoles, or indazoles. These compns. dye keratinaceous fibers, especially hair, shades ranging from ash blond to dark browns. For example, an oxidation, liquid dye composition was prepared by mixing 8 parts cationic surfactant,

polyethoxylated oleyl Me ammonium chloride with 83 parts H₂O and to it adding 1 part 5-aminoindazole (I) [19335-11-6] dissolved in 8 parts BuOH. The resultant solution was mixed with an equal quant. of 6% H₂O₂ solution. Albino hair tresses immersed in this dye composition were dyed an orange of good color value. When half the I was replaced with the modifier, 5-hydroxyindole, an attractive light-brown shade was obtained on hair. The addition of 1 part of the modifier, resorcinol, to the above composition using 82 parts instead of 83 parts H₂O, resulted in attractive light golden brown hair. Methods for preparing some of the azole compds. are given.

L13 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1969:421963 HCAPLUS
 DOCUMENT NUMBER: 71:21963
 ORIGINAL REFERENCE NO.: 71:4041a,4044a
 TITLE: Introduction of substituents into the benzene ring of indole. IX. 5,6-Dinitro- and 5,6-diaminoindolines
 AUTHOR(S): Terent'ev, A. P.; Vinogradova, E. V.; Chetverikov, V. P.; Lenenko, V. S.
 CORPORATE SOURCE: Mosk. Gos. Univ. im. Lomonosova, Moscow, USSR
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1969), (2), 258-61
 CODEN: KGSSAQ; ISSN: 0132-6244
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB To 59.5 g. indoline dissolved with cooling in 200 ml. H₂SO₄ at less than 5° was added a mixture of 22 ml. HNO₃ (d. 1.52) and H₂SO₄, stirred 1 hr. and poured on ice-water, filtered and neutralized with NH₃ to give 80% 6-nitroindoline, m. 68-8.5° (pentane); acetyl derivative (I) m. 153-4° (EtOH). A suspension of 20.6 g. I in 40 ml. Ac₂O was treated dropwise at 15-20° (at the conclusion at 40-50°) with 5 ml. HNO₃ (d. 1.52), to give 95% II (R = Ac, R₁ = R₂ = NO₂) (III), m. 192-2.5° (PhMe). III (12.6 g.) refluxed 1 hr. in 100 ml. HCl gave 78% II (R = H, R₁ = R₂ = NO₂), m. 208° (EtOH). III (12.6 g.) was reduced in 300 ml. MeOH with 20 ml. H₂NNH₂.H₂O and 0.5 g. Raney Ni to yield 84% II (R = Ac, R₁ = R₂ = NH₂), m. 212-13° (MeOH). Similarly, II (R = Ac, R₁ = NO₂, R₂ = H) gave 92° II (R = Ac, R₁ = NH₂, R₂ = H), m. 182-4° (EtOH); diacetyl derivative (IV) m. 211-12° (EtOH). IV (2.2 g.) was nitrated in 20 ml. Ac₂O at 0° with 3 ml. HNO₃ (d. 1.52) to give 91% II (R = Ac, R₁ = NHAc, R₂ = NO₂) (V), m. 206-7° (EtOH). V was reduced with H₂NNH₂.H₂O and Raney Ni to give 91% II (R = Ac, R₁ = NHAc, R₂ = NH₂), m. 206-7° (EtOH). To a suspension of 3 g. II (R = Ac, R₁ = H, R₂ = NHAc) in 30 ml. Ac₂O at 0° was added 4 ml. HNO₃ (d. 1.52), the mixture kept 1 hr. and poured on ice to give 55% II (R = Ac, R₁ = NO₂, R₂ = NHAc) (VI), m. 181-1.5° (EtOH). VI was reduced as previously to give 79% II (R = Ac, R₁ = NH₂, R₂ = NHAc), m. 213° (EtOH); acetyl derivative m. 218-19° (EtOH). A mixture of 1.9 g. V and 6.5 g. SnCl₂ in 50 ml. MeOH was treated on a water bath with 9 ml. 1:1 HCl, the solvent evaporated the residue treated with 100 ml. H₂O and saturated with H₂S. Filtration and neutralization with 2N NaOH gave 55% VII, m. 329-31° (EtOH). VII was also obtained in 45% yield by a 3-hr. reflux of II (R = Ac, R₁ = R₂ = NH₂) in Ac₂O. Ir, uv, and N.M.R. spectra are given.

L13 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1969:19929 HCAPLUS
 DOCUMENT NUMBER: 70:19929
 ORIGINAL REFERENCE NO.: 70:3719a,3722a
 TITLE: 5,6-Diamino-1-acylindolines
 INVENTOR(S): Terent'ev, A. P.; Vinogradova, E. V.; Chetverikov, V.

P.; Lenenko, V. S.
PATENT ASSIGNEE(S): Lomonosov, M. V., State University, Moscow
SOURCE: U.S.S.R. From: Izobret., Prom. Obraztsy, Tovarnye
Znaki 1968, 45(23), 17.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 222392		19680722	SU	19670125 <--

AB The title compds. are prepared by treatment of acylamino-1-acylindolines in Ac2O with concentrated HNO3 at $\leq 0^\circ$, followed by reduction of the resulting 5(or 6)-nitro-6(or 5)-acylamino-1-acylindolines in the presence of a Raney nickel catalyst.

L13 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1960:2165 HCAPLUS
DOCUMENT NUMBER: 54:2165
ORIGINAL REFERENCE NO.: 54:491i,492a-b
TITLE: Synthesis of indole derivatives substituted in the phenyl ring
AUTHOR(S): Kinoshita, Tetsuro; Inoue, Hiroo; Imoto, Eiji
CORPORATE SOURCE: Osaka Furitsu Univ.
SOURCE: Nippon Kagaku Zasshi (1957), 78, 1372-4
CODEN: NPKZAZ; ISSN: 0369-5387
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Instead of the usual Fischer method, indole derivs. were synthesized by aromatic substitution of indoline (I) followed by dehydrogenation. I was prepared in 85% yield from indole with Raney Ni. Nitration of N-benzoylindoline gave 68% N-benzoyl-5-nitroindoline (II), m. 195-6°, which hydrolyzed yielded 88% 5-nitroindoline (III), m. 92-4°. Reduction of II over PtO2 gave 80% N-benzoyl-5-aminoindoline (IV), m. 201-3°; free 5-aminoindoline m. 134-6°. IV acetylated and nitrated gave 26% N-benzoyl-6(?) -nitro-5-(N-acetylamino)indoline, m. 196-8°. Direct nitration of I afforded 51% 6-nitroindoline (VI), m. 65.5-7°; N-benzoate m. 166-7°; N-benzoyl-6-aminoindoline (VII) m. 179-81°, 50% yield from VI; N-acetate of VII m. 196-7°; N-benzoyl-4(?) -nitro-6-(N,N-diacetylamino)indoline m. 238-9°, 49% yield from VII. III and VI were converted into the corresponding indoles. Refluxing 0.5 g. VI, 0.4 g. maleic anhydride (VIII), and 0.1 g. Pd black in 50 ml. H2O 28 hrs., filtering, and cooling gave 0.2 g. 6-nitroindole, m. 138-40°. Similarly, refluxing III with VIII 8 hrs. gave 20% 5-nitroindole (IX), m. 133-6°. Refluxing 1 g. III and 0.3 g. Pd black in 13 ml. PhNO2 20 hrs. and working up gave 60% IX.

L13 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1937:53435 HCAPLUS
DOCUMENT NUMBER: 31:53435
ORIGINAL REFERENCE NO.: 31:7422c-i,7423a-d
TITLE: Nitrogen heterocycles. XXIX. Derivatives of m- and p-phenylenediamines and of 5-aminooxindole
AUTHOR(S): Ruggli, Paul; Grand, Richard
SOURCE: Helvetica Chimica Acta (1937), 20, 373-86
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. C. A. 31, 4288.1. The synthesis of benzodipyrroles by ring closure of phenylenediamines with β -CO groups takes place smoothly. The tendency to ring closure of similar N-substituted phenylenediamines has been investigated although the lack of such indole derivs. suggests the difficult nature of the reaction. A mol. mixture of 20 g. m-H₂NC₆H₄NH₂ (I) and 44 g. BrCH(CO₂Et)₂ (II) was triturated. After 2 days the solid was washed with 200 cc. H₂O and 100. cc. of 10% HCl and dried, yielding 25 g. (65%) of crude product. Recrystn. from hot alc. gave white, felted needles of m-phenylenebis(aminomalononic ester) (III), C₂₀H₂₈N₂O₈, m. 79°. The corresponding p-compound, m. 108°, was similarly prepared. Benzidine and II produced benzidinebis(malononic ester), C₂₆H₃₂N₂O₈, m. 134°. On heating at 210° for 25 min. 10 g. III was converted into a brown melt with evolution of EtOH. The cooled melt was boiled with 40 cc. Ac₂O and 4 g. anhydrous NaOAc for 9 hrs. and then poured into 160 cc. H₂O. Treatment of the resulting oil with 35 cc. alc. and recrystn. yielded 0.7 g. of 2,6-dicarbethoxy-3,5-diacetoxym-benzodipyrrole, C₂₀H₂₀N₂O₈, m. 180°. Analogous ring closure expts. with the p-C₆H₄(NH₂)₂ and benzidine compds. gave only amorphous substances. Attempts to effect ring closure by heating 2 g. anilidomalononic ester with 2 g. PhNCO at 145° and treatment of the cooled product with benzene gave 1,3-diphenyl-2,5-diketo-4-carbethoxy-tetrahydroimidazole, C₁₈H₁₆N₂O₄, m. 134.5°. Attempts to convert p-C₆H₄(NHCH₂CO₂H)₂ into the acid chloride and to effect ring closure by heating with AlCl₃ gave difficultly soluble products as a result of a polymerization reaction. I (4 g.) in a mixture of 80 cc. Et₂O and 20 cc. alc. was added to 7.4 g. BrCH₂Bz in 25 cc. alc. The crystalline product (8.1 g.) was filtered off and washed with dilute HCl. The residue (5 g.) was suspended in 100 cc. of hot alc. and taken into solution by the addition of 20 cc. pyridine. Crystallization gave 0.8 g. of bright yellow diphenacyl-m-phenylenediamine, C₂₂H₂₀N₂O₂, m. about 164°. Similarly m-O₂NC₆H₄NH₂ and BrCH₂Bz, gave phenacyl-m-nitroaniline, C₁₄H₁₂N₂O₃, m. 168°, with which no ring closure could be brought about. A mixture of 4 g. of p-H₂NC₆H₄NH₂, 4 g. Na₂CO₃ and 8 g. BrCH₂Bz in 50 cc. alc. was heated at 55-60° for 15 min. and for a few min. at 70°. The cooled mixture was filtered and the dried residue was boiled with 25 cc. of 10% HCl in 125 cc. H₂O. Recrystn. of the insol. residue gave 0.45 g. of diphenacyl-p-phenylenediamine, C₂₂H₂₀N₂O₂, m. about 151°; picrate, m. 124°; di-Ac derivative, m. 227°. Attempts at ring closure with acid media were unsuccessful. Condensation of BrCH₂Bz with p-H₂NC₆H₄NHAc yielded 82% of p-acetamidophenacylaniline, C₁₆H₁₈N₂O₂, m. 173°, which, on heating with p-H₂NC₆H₄NHAc.HCl for 10 min. at 170-5°, gave by ring closure 5-acetamidophenylin-dole, C₁₆H₁₄N₂O, m. 217°. As a cyclic acetylated m-phenylenediamine, reactions with 6-aminooxindole (IV) were studied. The reduction of 16 g. of 2,4-(O₂N)₂C₆H₃CH₂CO₂Et in 100 cc. alc., 100 cc. AcOEt and 20 cc. H₂O in the presence of Ni gave 6 g. of Et 2,4-diaminophenylacetate (V), C₁₀H₁₄N₂O₂, m. 75°; di-Ac derivative, m. 190°; di-Bz derivative, m. 161°; picrate, m. 165-215° (decomposition). The filtered reduction solution of V from 100 g. of nitro compound was decomposed with HCl and evaporated stepwise under reduced pressure at 40-50°, yielding 78% (56 g.) of the HCl salt of IV, neutralized with NH₄OH to IV, C₈H₈N₂O, m. about 200° (decomposition); toluylsulfo derivative, C₁₆H₁₄N₂O₃S, m. 228-9°, methylated to the N,O-di-Me (VI) and N-Me derivs., C₁₇H₁₈N₂O₃S and C₁₆H₁₆N₂O₃S, m. 203° and 253° resp. Heating with 11.5 cc. of 80% H₂SO₄ for 20 min. at 135-50° converted 5 g. VI into a crystalline sulfate which on neutralizing with 10% NaOH gave 2 g. (74%) of N,O-dimethyl-6-aminooxindole, C₁₀H₁₂N₂O, m. 165-6°; nitroso derivative, m. 137°, which could not be reduced to give the desired

methylhydrazine derivative Treatment of a suspension of 8.5 g. IV in 100 cc. dioxane and 60 cc. Et₂O with 2.2 cc. ClCH₂COCl in 20 cc. Et₂O produced 2 g. of chloroacetyl-6-aminooxindole (VII), C₁₀H₉ClN₂O₂, which, on heating with AlCl₃ in CS₂ did not yield the expected double oxindole. VII was converted into the corresponding 6-acetamidooxindole, C₁₀H₁₀N₂O₂, m. above 335° (decomposition), which was nitrated to the 5-nitro derivative, C₁₀H₉N₃O₄, m. 250-300° (decomposition). Reduction, saponification and the action of HCO₂H or AcOH failed to give a definite compound predicted on the basis of ring closure with the formation of an imidazole nucleus.

L13 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1937:13115 HCAPLUS

DOCUMENT NUMBER: 31:13115

ORIGINAL REFERENCE NO.: 31:1803e-g

TITLE: Preparation of aminoisatin and some derivatives

AUTHOR(S): Hartmann, M.; Panizzon, L.

SOURCE: Helvetica Chimica Acta (1936), 19, 1327-32

CODEN: HCAVAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB Catalytic reduction of 5-nitroisatin in 3 vols. of EtOH at 1 atmospheric and room

temperature with Rupe's Ni catalyst followed by extraction of the residue after evaporation with 10 vols. of H₂O gave 5-aminodioxindole, m. 212° (Ac derivative (I), m. 260°). I (20 g.) was added in small amts. to 68% HNO₃ (200 cc.) at 0°. After 80 min. ice was added and the precipitate was recrystd. from H₂O or HCO₂H (II), giving a compound C₁₀H₇O₅N₃, m. 261°, resulting from further nitration and ring cleavage. CrO₃ (14 g.) in H₂O (30 cc.) was added to a suspension of I (20 g.) in 70% AcOH at 90°. The temperature rose to 100-10° and was held at 90° for 30 min. at the end. On cooling, dark red 5-acetaminoisatin (15 g.), m. 286° after recrystn. from AcOH, crystallized This on saponification with

30%

H₂SO₄ gave 5-aminoisatin (III), m. above 360°. Methylation of III with 40% HCHO and II gave 5-dimethylaminoisatin, m. 215° (methiodide, m. 247-9° (decomposition); methochloride, m. 250° (decomposition)). Diazotization of III and decomposition as usual gave 5-hydroxyisatin, m. above 360°.

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
111.46	648.94

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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